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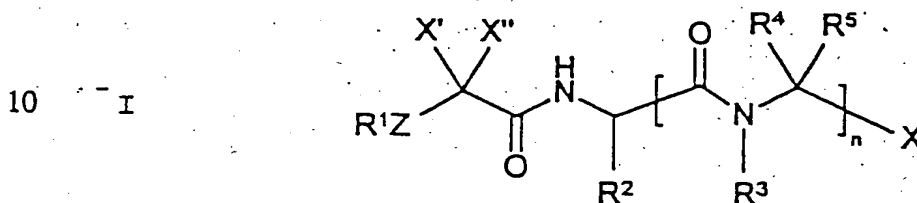
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WHAT IS CLAIMED IS:

1. A method for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis in a cell which method comprises administering to such a cell an amount of a compound or a mixture of compounds effective in inhibiting the cellular release and/or synthesis of  $\beta$ -amyloid peptide wherein said compounds are represented by formula I:



15     wherein  $R^1$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic;

$R^2$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

20     each  $R^3$  is independently selected from the group consisting of hydrogen and methyl and  $R^3$  together with  $R^4$  can be fused to form a cyclic structure of from 3 to 8 atoms which is optionally fused with an aryl or heteroaryl group;

      each  $R^4$  is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, 25 substituted alkyl, substituted alkenyl and substituted alkynyl;

      each  $R^5$  is selected from hydrogen and methyl or together with  $R^4$  forms a cycloalkyl group of from 3 to 6 carbon atoms;

      X is selected from the group consisting of  $-C(O)Y$  and  $-C(S)Y$  where Y is selected from the group consisting of

30     (a) alkyl or cycloalkyl,

      (b) substituted alkyl with the proviso that the substitution on said substituted alkyl do not include  $\alpha$ -haloalkyl,  $\alpha$ -dialkoalkyl,  $\alpha$ -OC(O)alkyl, or

$\alpha$ -OC(O)aryl groups,

(c) alkoxy or thioalkoxy,

(d) substituted alkoxy or substituted thioalkoxy,

(e) hydroxy,

5 (f) aryl,

(g) heteroaryl,

(h) heterocyclic,

(i) -NR'R'' where R' and R'' are independently selected from hydrogen, alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkenyl, substituted  
10 -alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, where one of R' or R'' is hydroxy or alkoxy, and where R' and R'' are joined to form a cyclic group having from 2 to 8 carbon atoms optionally containing 1 to 2 additional heteroatoms selected from oxygen, sulfur and nitrogen and optionally substituted with one or more alkyl, alkoxy or carboxylalkyl groups,

15 (j) -NHSO<sub>2</sub>-R<sup>8</sup> where R<sup>8</sup> is selected from alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

(k) -NR<sup>9</sup>NR<sup>10</sup>R<sup>10</sup> where R<sup>9</sup> is hydrogen or alkyl, and each R<sup>10</sup> is independently selected from hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, and

20 (l) -ONR<sup>9</sup>[C(O)O]<sub>z</sub>R<sup>10</sup> where z is zero or one, R<sup>9</sup> and R<sup>10</sup> are as defined above;

X can also be -CR<sup>6</sup>R<sup>6</sup>Y' where each R<sup>6</sup> is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic and Y' is selected from the group consisting of  
25 hydroxyl, amino, thiol, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, -OC(O)R<sup>7</sup>, -SSR<sup>7</sup>, -SSC(O)R<sup>7</sup> where R<sup>7</sup> is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

X' is hydrogen, hydroxy, or fluoro;

30 X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group,

Z is selected from the group consisting of a bond covalently linking R<sup>1</sup> to -CX'X'', oxygen and sulfur;

n is an integer equal to 1 or 2; and

pharmaceutically acceptable salts thereof

5 with the provisos that:

A. when R<sup>1</sup> is phenyl or 3-nitrophenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is -CH(OH)CH<sub>3</sub>, R<sup>5</sup> is hydrogen, X' and X'' are hydrogen, Z is a bond, and n is 1, then X is not -C(O)OH;

10 B. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is -CH(OH)CH<sub>3</sub> derived from D-threonine, R<sup>5</sup> is hydrogen, X' and X'' are hydrogen, Z is a bond, and n is 1, then X is not -C(O)OH or -C(O)OCH<sub>3</sub>;

C. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>4</sup> is benzyl, R<sup>5</sup> is hydrogen, X is methoxycarbonyl, X' and X'' are hydrogen, Z is a bond, and n is 1, then R<sup>3</sup> is not methyl;

15 D. when R<sup>1</sup> is *iso*-propyl, R<sup>2</sup> is -CH<sub>2</sub>C(O)NH<sub>2</sub>, R<sup>3</sup> is hydrogen, R<sup>4</sup> is *iso*-butyl, R<sup>5</sup> is hydrogen, X' and X'' are hydrogen, Z is a bond, and n is 1, then X is not -C(O)OCH<sub>3</sub>;

20 E. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>5</sup> is hydrogen, X is -C(O)OCH<sub>3</sub>, X' and X'' are hydrogen, Z is a bond, and n is 1, then R<sup>3</sup>, the nitrogen atom attached to R<sup>3</sup>, and R<sup>4</sup> do not form 1,2,3,4-tetrahydro*iso*-quinolin-2-yl or pyrrolidin-2-yl;

F. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>5</sup> is hydrogen, X is -C(O)OCH<sub>3</sub>, X' and X'' are hydrogen, Z is a bond, and n is 1, then R<sup>4</sup> is not 4-amino-*n*-butyl;

25 G. when R<sup>1</sup> is 3-nitrophenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is -CH(OH)CH<sub>3</sub>, R<sup>5</sup> is hydrogen, X' and X'' are hydrogen, Z is a bond, and n is 1, then X is not -C(O)NH<sub>2</sub> or -CH<sub>2</sub>OH;

30 H. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>5</sup> is hydrogen, X is -CH<sub>2</sub>OCH<sub>3</sub>, X' and X'' are hydrogen, Z is a bond, and n is 1, then R<sup>4</sup> is not benzyl or ethyl;

I. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is methyl,  $R^4$  is methyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{CHOH}\phi$ ;

5 J. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{CHOH}\phi$  or  $-\text{CH}_2\text{OH}$ ;

K. when  $R_1$  is *N*-(2-pyrrolidinonyl),  $R_2$  is methyl,  $R_3$  is hydrogen,  $R_4$  is benzyl;  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{OCH}_3$ ;

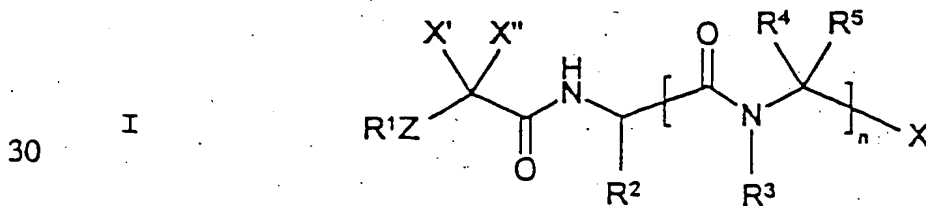
10 L. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl derived from D-alanine,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{NH-benzyl}$ ;

15 M. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is hydrogen,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{CH}_2\text{OH}$ ;

N. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is 4-phenylphenyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{NHC}(\text{CH}_3)_3$ ; and

20 O. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{NHCH}(\text{CH}_3)\phi$ .

25 2. A method for preventing the onset of AD in a patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula I:



wherein  $R^1$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic;

5  $R^2$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

each  $R^3$  is independently selected from the group consisting of hydrogen and methyl and  $R^3$  together with  $R^4$  can be fused to form a cyclic structure of from 3 to 8 atoms which is optionally fused with an aryl or heteroaryl group;

10 each  $R^4$  is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, substituted alkyl, substituted alkenyl and substituted alkynyl;

each  $R^5$  is selected from hydrogen and methyl or together with  $R^4$  forms a cycloalkyl group of from 3 to 6 carbon atoms;

15 X is selected from the group consisting of  $-C(O)Y$  and  $-C(S)Y$  where Y is selected from the group consisting of

(a) alkyl or cycloalkyl,

(b) substituted alkyl with the proviso that the substitution on said substituted alkyl do not include  $\alpha$ -haloalkyl,  $\alpha$ -diazoalkyl,  $\alpha$ -OC(O)alkyl, or  $\alpha$ -OC(O)aryl groups,

20 (c) alkoxy or thioalkoxy,

(d) substituted alkoxy or substituted thioalkoxy,

(e) hydroxy,

(f) aryl,

25 (g) heteroaryl,

(h) heterocyclic,

(i)  $-NR'R''$  where  $R'$  and  $R''$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, where one of  $R'$  or  $R''$  is hydroxy or alkoxy, and where  $R'$  and  $R''$  are joined to form a cyclic group  
30 having from 2 to 8 carbon atoms optionally containing 1 to 2 additional

heteroatoms selected from oxygen, sulfur and nitrogen and optionally substituted with one or more alkyl, alkoxy or carboxylalkyl groups,

(j)  $-\text{NHSO}_2-\text{R}^8$  where  $\text{R}^8$  is selected from alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

5 (k)  $-\text{NR}^9\text{NR}^{10}\text{R}^{10}$  where  $\text{R}^9$  is hydrogen or alkyl, and each  $\text{R}^{10}$  is independently selected from hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, and

(l)  $-\text{ONR}^9[\text{C}(\text{O})\text{O}]_z\text{R}^{10}$  where  $z$  is zero or one,  $\text{R}^9$  and  $\text{R}^{10}$  are as defined above;

10 X can also be  $-\text{CR}^6\text{R}^6\text{Y}'$  where each  $\text{R}^6$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic and  $\text{Y}'$  is selected from the group consisting of hydroxyl, amino, thiol, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy,  $-\text{OC}(\text{O})\text{R}^7$ ,  $-\text{SSR}^7$ ,  $-\text{SSC}(\text{O})\text{R}^7$  where  $\text{R}^7$  is selected from the group  
15 consisting of alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

$\text{X}'$  is hydrogen, hydroxy, or fluoro;

$\text{X}''$  is hydrogen, hydroxy or fluoro, or  $\text{X}'$  and  $\text{X}''$  together form an oxo group,

20 Z is selected from the group consisting of a bond covalently linking  $\text{R}^1$  to  $-\text{CX}'\text{X}''-$ , oxygen and sulfur;

$n$  is an integer equal to 1 or 2; and

pharmaceutically acceptable salts thereof

with the provisos that:

25 A. when  $\text{R}^1$  is phenyl or 3-nitrophenyl,  $\text{R}^2$  is methyl,  $\text{R}^3$  is hydrogen,  $\text{R}^4$  is  $-\text{CH}(\text{OH})\text{CH}_3$ ,  $\text{R}^5$  is hydrogen,  $\text{X}'$  and  $\text{X}''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{OH}$ ;

B. when  $\text{R}^1$  is phenyl,  $\text{R}^2$  is methyl,  $\text{R}^3$  is hydrogen,  $\text{R}^4$  is  $-\text{CH}(\text{OH})\text{CH}_3$  derived from D-threonine,  $\text{R}^5$  is hydrogen,  $\text{X}'$  and  $\text{X}''$  are hydrogen, Z is a  
30 bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{OH}$  or  $-\text{C}(\text{O})\text{OCH}_3$ ;

C. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^4$  is benzyl,  $R^5$  is hydrogen, X is methoxycarbonyl,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^3$  is not methyl;

5 D. when  $R^1$  is *iso*-propyl,  $R^2$  is  $-\text{CH}_2\text{C}(\text{O})\text{NH}_2$ ,  $R^3$  is hydrogen,  $R^4$  is *iso*-butyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{OCH}_3$ ;

E. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^5$  is hydrogen, X is  $-\text{C}(\text{O})\text{OCH}_3$ ,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^3$ , the nitrogen atom attached to  $R^3$ , and  $R^4$  do not form 1,2,3,4-tetrahydro*iso*-quinolin-2-yl or  
10 *pyrrolidin*-2-yl;

F. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^5$  is hydrogen, X is  $-\text{C}(\text{O})\text{OCH}_3$ ,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^4$  is not 4-amino-*n*-butyl;

G. when  $R^1$  is 3-nitrophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is  
15  $-\text{CH}(\text{OH})\text{CH}_3$ ,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{NH}_2$  or  $-\text{CH}_2\text{OH}$ ;

H. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^5$  is hydrogen, X is  $-\text{CH}_2\text{OCH}_3$ ,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^4$  is not benzyl or ethyl;

20 I. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is methyl,  $R^4$  is methyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{CHOH}\phi$ ;

J. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are  
25 hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{CHOH}\phi$  or  $-\text{CH}_2\text{OH}$ ;

K. when  $R_1$  is *N*-(2-pyrrolidinonyl),  $R_2$  is methyl,  $R_3$  is hydrogen,  $R_4$  is benzyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{OCH}_3$ ;

30 L. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl derived from D-alanine,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{NH}$ -benzyl;

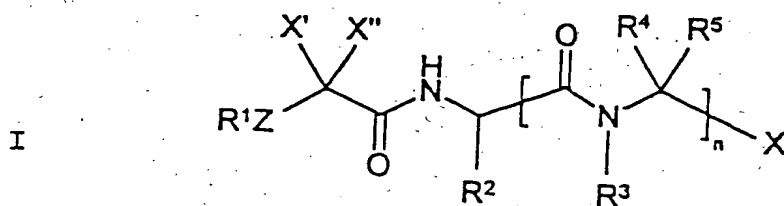


M. when R<sup>1</sup> is 3,5-difluorophenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is hydrogen, R<sup>5</sup> is hydrogen, X' and X'' are hydrogen, Z is a bond, and n is 1, then X is not -CH<sub>2</sub>OH;

N. when R<sup>1</sup> is 3,5-difluorophenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is 4-phenylphenyl, R<sup>5</sup> is hydrogen, X' and X'' are hydrogen, Z is a bond, and n is 1, then X is not -C(O)NHC(CH<sub>3</sub>)<sub>3</sub>; and

O. when R<sup>1</sup> is 3,5-difluorophenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is phenyl derived from D-phenylglycine, R<sup>5</sup> is hydrogen, X' and X'' are hydrogen, Z is a bond, and n is 1, then X is not -C(O)NHCH(CH<sub>3</sub>)φ.

3. A method for treating a patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula I:



wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic;

R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

each R<sup>3</sup> is independently selected from the group consisting of hydrogen and methyl and R<sup>3</sup> together with R<sup>4</sup> can be fused to form a cyclic structure of from 3 to 8 atoms which is optionally fused with an aryl or heteroaryl group;

each  $R^4$  is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, substituted alkyl, substituted alkenyl and substituted alkynyl;

each  $R^5$  is selected from hydrogen and methyl or together with  $R^4$  forms  
5 a cycloalkyl group of from 3 to 6 carbon atoms;

X is selected from the group consisting of  $-C(O)Y$  and  $-C(S)Y$  where Y is selected from the group consisting of

(a) alkyl or cycloalkyl,

(b) substituted alkyl with the proviso that the substitution on said  
10 - substituted alkyl do not include  $\alpha$ -haloalkyl,  $\alpha$ -diazoalkyl,  $\alpha$ -OC(O)alkyl, or  $\alpha$ -OC(O)aryl groups,

(c) alkoxy or thioalkoxy,

(d) substituted alkoxy or substituted thioalkoxy,

(e) hydroxy,

15 (f) aryl,

(g) heteroaryl,

(h) heterocyclic,

(i)  $-NR'R''$  where  $R'$  and  $R''$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkenyl, substituted  
20 alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, where one of  $R'$  or  $R''$  is hydroxy or alkoxy, and where  $R'$  and  $R''$  are joined to form a cyclic group having from 2 to 8 carbon atoms optionally containing 1 to 2 additional heteroatoms selected from oxygen, sulfur and nitrogen and optionally substituted with one or more alkyl, alkoxy or carboxylalkyl groups,

25 (j)  $-NH SO_2 - R^8$  where  $R^8$  is selected from alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

(k)  $-NR^9 NR^{10} R^{10}$  where  $R^9$  is hydrogen or alkyl, and each  $R^{10}$  is independently selected from hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, and

30 (l)  $-ONR^9 [C(O)O]_z R^{10}$  where  $z$  is zero or one,  $R^9$  and  $R^{10}$  are as defined above;

X can also be  $-CR^6R^6Y'$  where each  $R^6$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic and  $Y'$  is selected from the group consisting of hydroxyl, amino, thiol, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy,  $-OC(O)R^7$ ,  $-SSR^7$ ,  $-SSC(O)R^7$  where  $R^7$  is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

$X'$  is hydrogen, hydroxy, or fluoro;

$X''$  is hydrogen, hydroxy or fluoro, or  $X'$  and  $X''$  together form an oxo group,

Z is selected from the group consisting of a bond covalently linking  $R^1$  to  $-CX'X''-$ , oxygen and sulfur;

$n$  is an integer equal to 1 or 2; and

pharmaceutically acceptable salts thereof

with the provisos that:

A. when  $R^1$  is phenyl or 3-nitrophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is  $-\text{CH}(\text{OH})\text{CH}_3$ ,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{OH}$ ;

B. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is  $-\text{CH}(\text{OH})\text{CH}_3$  derived from D-threonine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{OH}$  or  $-\text{C}(\text{O})\text{OCH}_3$ ;

C. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^4$  is benzyl,  $R^5$  is hydrogen, X is methoxycarbonyl,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^3$  is not methyl;

D. when  $R^1$  is *iso*-propyl,  $R^2$  is  $-\text{CH}_2\text{C}(\text{O})\text{NH}_2$ ,  $R^3$  is hydrogen,  $R^4$  is *iso*-butyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{OCH}_3$ ;

E. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^5$  is hydrogen, X is  $-\text{C}(\text{O})\text{OCH}_3$ ,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^3$ ; the nitrogen atom attached to  $R^3$ , and  $R^4$  do not form 1,2,3,4-tetrahydro*iso*-quinolin-2-yl or pyrrolidin-2-yl;

F. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^5$  is hydrogen, X is  $-C(O)OCH_3$ ,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^4$  is not 4-amino-*n*-butyl;

5 G. when  $R^1$  is 3-nitrophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is  $-CH(OH)CH_3$ ,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-C(O)NH_2$  or  $-CH_2OH$ ;

H. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^5$  is hydrogen, X is  $-CH_2OCH_3$ ,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^4$  is not benzyl or ethyl;

10 I. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is methyl,  $R^4$  is methyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-CHOH\phi$ ;

J. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are  
15 hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-CHOH\phi$  or  $-CH_2OH$ ;

K. when  $R_1$  is *N*-(2-pyrrolidinonyl),  $R_2$  is methyl,  $R_3$  is hydrogen,  $R_4$  is benzyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-C(O)OCH_3$ ;

L. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl derived from D-alanine,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-C(O)NH$ -benzyl;  
20

M. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is hydrogen,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-CH_2OH$ ;

25 N. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is 4-phenylphenyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-C(O)NHC(CH_3)_3$ ; and

O. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are  
30 hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-C(O)NHCH(CH_3)\phi$ .

4. The method according to Claim 1, 2 or 3 wherein  $R^1$  is an unsubstituted aryl group and Z is a bond covalently linking  $R^1$  to  $-CX'X''-$ .

5 5. The method according to Claim 4 wherein the unsubstituted  $R^1$  aryl group is selected from the group consisting of phenyl, 1-naphthyl and 2-naphthyl.

6. The method according to Claim 1, 2 or 3 wherein  $R^1$  is a substituted aryl group and Z is a bond covalently linking  $R^1$  to  $-CX'X''-$ .

7. The method according to Claim 6 wherein said substituted aryl group is a mono-substituted, di-substituted or tri-substituted phenyl group.

10 8. The method according to Claim 7 wherein the substituted phenyl groups are selected from the group consisting of 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-methylphenyl, 3-methoxyphenyl, 3-nitrophenyl, 3-fluorophenyl, 3-chlorophenyl, 3-bromophenyl, 3-thiomethoxyphenyl, 3-methylphenyl, 3-trifluoromethylphenyl, 2-hydroxyphenyl, 2-methylphenyl, 2-fluorophenyl, 2-chlorophenyl, 3,4-difluorophenyl, 15 2,3,4,5,6-pentafluorophenyl, 3,4-dibromophenyl, 3,4-dichlorophenyl, 3,4-methylene-dioxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-dichlorophenyl, and 2,5-difluorophenyl.

20 9. The method according to Claim 1, 2 or 3 wherein  $R^1$  is an alkaryl group and Z is a bond covalently linking  $R^1$  to  $-CX'X''-$ .

10. The method according to Claim 9 wherein the  $R^1$  alkaryl group is selected from the group consisting of benzyl, 2-phenylethyl, and 3-phenyl-*n*-propyl.

11. The method according to Claim 1, 2 or 3 wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, cycloalkyl and cycloalkenyl groups and Z is a bond covalently linking R<sup>1</sup> to -CX'X"-.

12. The method according to Claim 11 wherein R<sup>1</sup> is alkyl.

5 13. The method according to Claim 11 wherein R<sup>1</sup> is cycloalkyl.

14. The method according to Claim 11 wherein R<sup>1</sup> is alkenyl.

15. The method according to Claim 11 wherein R<sup>1</sup> is cycloalkenyl.

10 16. The method according to Claim 11 wherein the R<sup>1</sup> alkyl, cycloalkyl, alkenyl and cycloalkenyl groups are selected from the group consisting of *iso*-propyl, *n*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH=CH<sub>2</sub>, -CH<sub>2</sub>CH=CH(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub>, cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclohex-1-enyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-cyclopentyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopentyl, aminomethyl, and N-*tert*-  
15 butoxycarbonylaminomethyl.

17. The method according to Claim 1, 2 or 3 wherein R<sup>1</sup> is selected from the group consisting of heteroaryl and substituted heteroaryl groups and Z is a bond covalently linking R<sup>1</sup> to -CX'X"-.

20 18. The method according to Claim 17 wherein the R<sup>1</sup> heteroaryl and substituted heteroaryl groups are selected from the group consisting of pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, fluoropyridyls (including 5-fluoropyrid-3-yl), chloropyridyls (including 5-chloropyrid-3-yl), thien-2-yl, thien-3-yl, benzothiazol-4-yl, 2-phenylbenzoxazol-5-yl, furan-2-yl, benzofuran-2-yl, thionaphthen-2-yl, 2-chlorothiophen-5-yl, 3-methylisoxazol-5-yl, 2-

(thiophenyl)thiophen-5-yl, 6-methoxythionaphthen-2-yl, 3-phenyl-1,2,4-thioxadiazol-5-yl and 2-phenyloxazol-4-yl.

19. The method according to Claim 1, 2 or 3 wherein R<sup>2</sup> is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic.

20. The method according to Claim 9 wherein R<sup>2</sup> is selected from the group consisting of methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, phenyl, 4-fluorophenyl, 3,5-difluoro-phenyl, 4-methoxyphenyl, benzyl, cyclopropyl, cyclohexyl, cyclopentyl, cycloheptyl, thien-2-yl, thien-3-yl, -CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>OCH<sub>2</sub>φ, -CH(CH<sub>3</sub>)OCH<sub>2</sub>φ, -CH(OH)CH<sub>3</sub> and -CH<sub>2</sub>OH.

21. The method according to Claim 1, 2 or 3 wherein X' and X'' are hydrogen and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

22. The method according to Claim 21 wherein R<sup>3</sup> is selected from the group consisting of hydrogen, methyl or together with R<sup>4</sup> and the nitrogen to which R<sup>3</sup> is attached forms pyrrolidin-2-yl, 2,3-dihydroindol-2-yl, piperidin-2-yl, 4-hydroxy-pyrrolidin-2-yl and 1,2,3,4-tetrahydroisoquinolin-3-yl.

23. The method according to Claim 1, 2 or 3 wherein R<sup>4</sup> substituents are selected from the group consisting of hydrogen, methyl, ethyl, *iso*-propyl, *n*-propyl, *n*-butyl, *sec*-butyl, *iso*-butyl, cyclopentyl, cyclohexyl, allyl, *iso*-but-2-enyl, 3-methylpentyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-indol-3-yl, phenyl, *p*-(phenyl)phenyl, *m*-(phenyl)phenyl *o*-fluorophenyl, *m*-fluorophenyl, *p*-fluorophenyl, *p*-bromophenyl, *m*-methoxyphenyl, *p*-methoxyphenyl, phenethyl, benzyl, *m*-hydroxybenzyl, *p*-hydroxybenzyl, *p*-nitrobenzyl, *m*-trifluoromethylphenyl, *p*-(CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-benzyl, *p*-(CH<sub>3</sub>)<sub>3</sub>COC(O)CH<sub>2</sub>O-benzyl, *p*-phenylphenyl, 3,5-difluorophenyl,

$p$ -(HOOCCH<sub>2</sub>O)-benzyl, 2-aminopyrid-6-yl, 4-(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, -CH<sub>2</sub>CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-imidazol-4-yl, -CH<sub>2</sub>-(3-tetrahydrofuran-2-yl), -CH<sub>2</sub>-thien-2-yl, -CH<sub>2</sub>-thiazol-4-yl, -CH<sub>2</sub>-(1-methyl)cyclopropyl, -CH<sub>2</sub>-thien-3-yl, thien-3-yl, thien-2-yl, -CH<sub>2</sub>-C(O)O-*t*-butyl, -CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>,  
 5 2-methylcyclopentyl, -cyclohex-2-enyl, -CH[CH(CH<sub>3</sub>)<sub>2</sub>]COOCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>, -CH<sub>2</sub>CH=CHCH<sub>3</sub> (cis and trans), -CH<sub>2</sub>OH, -CH(OH)CH<sub>3</sub>, -CH(O-*t*-butyl)CH<sub>3</sub>, -CH<sub>2</sub>OCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>4</sub>NH-Boc, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>4</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>-pyridyl, pyridyl, -CH<sub>2</sub>-naphthyl, -CH<sub>2</sub>-(N-morpholino),  $p$ -(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, benzo[b]thiophen-2-  
 10 -yl, benzo[b]thiophen-3-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, tetrazol-5-yl, 5-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH<sub>2</sub>-N-phthalimidyl, 2-methylthiazol-4-yl, and thieno[2,3-*b*]thiophen-2-yl, 5-bromothien-2-yl, 4-bromothien-2-yl, 5-chlorothien-2-yl, 3-phenoxyphenyl,  
 15 2-phenoxyphenyl, 4-ethylphenyl, 2-benzylphenyl, (4-ethylphenyl)phenyl, 4-*tert*-butylphenyl, 4-*n*-butylphenyl, *o*-(4-chlorophenoxy)phenyl, furan-2-yl, and 4-phenylacetylenylphenyl.

20 24. The method according to Claim 1, 2 or 3 wherein Z is a covalent bond linking R<sup>1</sup> to -CX'X"- and R<sup>4</sup> and R<sup>5</sup> are fused to form a cycloalkyl group selected from the group consisting of cyclopropyl and cyclobutyl.

25 25. The method according to Claims 1, 2 or 3 wherein Z is a covalent bond linking R<sup>1</sup> to -CX'X"-, X is -C(O)Y and Y is selected from the group consisting of hydroxy, alkoxy or substituted alkoxy.

25 26. The method according to Claim 25 wherein Y is alkoxy or substituted alkoxy selected from the group consisting of methoxy, ethoxy, *n*-propoxy, *iso*-propoxy, *n*-butoxy, *iso*-butoxy, *tert*-butoxy, *neo*-pentoxy, benzyloxy, 2-phenylethoxy, 3-phenyl-*n*-propoxy, 3-iodo-*n*-propoxy, 4-bromo-*n*-butoxy, -ONHC(O)OC(CH<sub>3</sub>)<sub>3</sub>, -ONHC(CH<sub>3</sub>)<sub>3</sub>, and hydroxy.



27. The method according to Claims 1, 2 or 3 wherein Z is a covalent bond linking R<sup>1</sup> to -CX'X"-, X is -C(O)Y and Y is -NR'R".

28. The method according to Claim 27 wherein Y is selected from the group consisting of amino (-NH<sub>2</sub>), -NH(*iso*-butyl), -NH(*sec*-butyl), N-methylamino, N,N-dimethylamino, N-benzylamino, N-morpholino, azetidino, N-thiomorpholino, N-piperidinyl, N-hexamethyleneimino, N-heptamethyleneimino, N-pyrrolidinyl, -NH-methallyl, -NHCH<sub>2</sub>-(furan-2-yl), -NHCH<sub>2</sub>-cyclopropyl, -NH(*tert*-butyl), -NH(*p*-methylphenyl), -NHCH<sub>2</sub>(*p*-fluorophenyl), -NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -NH-cyclopentyl, -NH-cyclohexyl, -NHCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -NHCH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -NHCH<sub>2</sub>-(pyrid-2-yl), -NHCH<sub>2</sub>-(pyrid-3-yl), -NHCH<sub>2</sub>-(pyrid-4-yl), N-thiazolindinyl, -N(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -N[CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>]<sub>2</sub>, -NHOH, -NH(*p*-NO<sub>2</sub>-φ), -NHCH<sub>2</sub>(*p*-NO<sub>2</sub>-φ), -NHCH<sub>2</sub>(*m*-NO<sub>2</sub>-φ), -N(CH<sub>3</sub>)OCH<sub>3</sub>, -N(CH<sub>3</sub>)CH<sub>2</sub>-φ, -NHCH<sub>2</sub>-(3,5-di-fluorophenyl), -NHCH<sub>2</sub>CH<sub>2</sub>F, -NHCH<sub>2</sub>(*p*-CH<sub>3</sub>O-φ), -NHCH<sub>2</sub>(*m*-CH<sub>3</sub>O-φ), -NHCH<sub>2</sub>(*p*-CF<sub>3</sub>-φ), -N(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>φ, -NHCH(CH<sub>3</sub>)φ, -NHCH<sub>2</sub>-(*p*-F-φ), -N(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -NHCH<sub>2</sub>-(tetrahydrofuran-2-yl), -NHCH<sub>2</sub>(*p*-trifluoromethylphenyl), -NHCH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>, -NH-[(*p*-benzyl)pyrid-4-yl], -NH-[(2,6-dimethyl)pyrid-4-yl], -NH-(2-methylcyclohexyl), -NH-(4-methylcyclohexyl), -NH-[N-ethoxycarbonyl]-piperidin-4-yl, -NHOC(CH<sub>3</sub>)<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-φ, -C(O)NH(CH<sub>2</sub>)<sub>3</sub>O-(*p*-CH<sub>3</sub>)φ, -C(O)NH(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>, -NH-(tetrahydrofuran-2-yl), -N(CH<sub>3</sub>)φ, -NH(CH<sub>2</sub>)<sub>4</sub>NHC(O)-(2-hydroxy-4-azido)-phenyl and -NH(CH<sub>2</sub>)<sub>6</sub>-(biotinamidyl).

29. The method according to Claims 1, 2 or 3 wherein X is -C(O)Y and Y is selected from the group consisting of -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>OH, -CH(OH)CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH(OH)φ, -CH(OH)CH<sub>2</sub>C(O)OCH<sub>3</sub>, -C(OH)(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>OC(O)OCH<sub>3</sub>, and -CH<sub>2</sub>OC(O)C(CH<sub>3</sub>)<sub>3</sub>, methyl, ethyl, *iso*-propyl, *n*-propyl, *iso*-butyl, *n*-butyl, *sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>-pyridy-2-yl, -CH<sub>2</sub>-pyridy-3-yl, -CH<sub>2</sub>-pyridy-4-yl, -CH<sub>2</sub>-fur-2-yl, benzyl, cyclopentyl, phenyl, and -NH-SO<sub>2</sub>-CH<sub>3</sub>.

30. The method according to Claims 1, 2 or 3 wherein Z is a covalent bond linking R<sup>1</sup> to -CX'X''.

31. The method according to Claims 1, 2 or 3 wherein the compound of formula I is selected from the group consisting of:

- 5            *N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanoate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-histidine methyl ester
- N*-benzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanamide
- 10            *N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanamide
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanamide
- 15            *N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- N*-(4-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- N*-(3-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- 20            *N*-(4-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanamide
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanoate *tert*-butyl ester
- N*-[*N*-(pent-4-enoyl)-L-alaninyl]-L-phenylalanine methyl ester
- 25            *N*-[*N*-(dec-4-enoyl)-L-alaninyl]-L-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-[3-(*N,N*-dimethylamino)propoxy]phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-[(*tert*-butyloxycarbonyl)methoxy]phenylalanine methyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-tyrosine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(carboxymethoxy)phenylalanine methyl ester
- 5 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(2-morpholinoethoxy)phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-6-(*N,N*-dimethylamino)hexanoate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-pyridyl)propionate methyl ester
- 10 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(3-pyridyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-proline methyl ester
- 1-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]piperidine-2-carboxylate methyl ester
- 15 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(4-pyridyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-methoxypropionate methyl ester
- 20 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-morpholinopropionate methyl ester
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(2-morpholinoethoxy)phenylalaninamide
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-methoxypropionamide
- 25 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine methyl ester
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(4-pyridyl)propionamide
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(2-pyridyl)propionamide

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(thiazol-4-yl)propionate methyl ester
- 2-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxylate methyl ester
- 5      *N*-(3-methoxybenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(1-naphthyl)propionate methyl ester
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-naphthyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-thienyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine benzyl ester
- 15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine 3-bromopropyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine 3-iodopropyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-leucine *tert*-butyl ester
- 20      *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-pyridyl)acetamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-pyridyl)acetamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*N*-(*tert*-butoxycarbonyl)-*L*-lysine methyl ester
- 25      methyl *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-4-phenylbutanoate
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine 2-phenylethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine 3-phenylpropyl ester
- 30      *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-pyridyl)acetamide

- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-threonine methyl ester
- N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-leucinamide
- N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- 5 *N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-pyridyl)acetate ethyl ester
- N*-methyl-*N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-leucinamide
- N,N*-dimethyl-*N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- 10 *N,N*-dimethyl-*N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-leucinamide
- N,N*-dimethyl-*N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valinamide
- N*-methyl-*N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-methyl-*N*'-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valinamide
- 15 *N*-methyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide
- N,N*-dimethyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide
- N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide
- 20 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-methoxyphenyl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-methoxyphenyl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-pyridyl)acetate ethyl ester
- 25 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-pyridyl)acetate ethyl ester
- N*-[*N*-(cyclohexylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester

- N*-[*N*-(cyclopentylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(cyclohex-1-enylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-1-aminocyclopropane-1-carboxylate methyl ester
- 5      *N*-2-(*N,N*-dimethylamino)ethyl-*N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[*N*-(cyclopropylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine benzyl ester
- N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- 10      *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-alanine ethyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]glycine ethyl ester
- N*-hydroxy-*N'*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*D,L*-threoninamide
- N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester
- 15      *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-2-amino-3-(3-hydroxyphenyl)propionate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-tyrosine ethyl ester
- N*-[*N*-(isovaleryl)-*L*-isoleucinyl]-*L*-alanine *iso*-butyl ester
- N*-[*N*-[*N*-(isovaleryl)-*L*-valinyl]-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester
- 20      ester
- N*-[*N*-(isovaleryl)-*L*-phenylalaninyl]-*L*-alanine *iso*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alanine ethyl ester
- 1-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-indoline-(*S*)-2-carboxylate ethyl ester
- 25      *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-methoxy-*N*-methyl-*N'*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alaninamide

- N*-iso-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- N,N*-di-*n*-propyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-valinamide
- 5     *N*-(4-nitrophenyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- N*'-[*N*-[*N*-(isovaleryl)-L-phenylglyciny]-L-alaninyl]-L-phenylalaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalanine methyl ester
- N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- 10     *N*-iso-butyl-*N*'-[*N*-(isovaleryl)-L-phenylglyciny]-L-alaninamide
- N*-(2-methoxyethyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- N*-(4-nitrobenzyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- 15     *N*-(4-nitrophenyl)-*N*'-[*N*-[*N*-(isovaleryl)-L-phenylglyciny]-L-alaninyl]-L-alaninamide
- N*-(4-nitrophenyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- 20     *N*-benzyl-*N*-methyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- N*-(3,5-difluorobenzyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- N*-(3-nitrobenzyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- 25     *N*-benzyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- N*-(4-nitrobenzyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-tryptophan methyl ester

- N*-(4-methoxybenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[*N*-(phenylacetyl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- 5     *N*-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(cyclohexylacetyl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninyl]-*L*-phenylglycine methyl ester
- 10     *N*-(2-phenylethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-tryptophanamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-cyclohexylpropionate methyl ester
- 15     *N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(4-nitrophenyl)propionamide
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-serine ethyl ester
- N*-[(*R*)- $\alpha$ -methylbenzyl]-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 20     *N*-[(*S*)- $\alpha$ -methylbenzyl]-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-(4-fluorobenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 25     *N*-(4-pyridylmethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-(4-trifluoromethylbenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-phenylpropionate ethyl ester



- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-methylpropionate methyl ester
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-cyclohexylacetate ethyl ester
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(isovaleryl)-2-amino-2-cyclohexylacetyl]-*L*-alanine ethyl ester
- 10      *N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-(2-pyridylmethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(3-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- 15      *N*-[*N*-(2-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(4-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-fluorophenyl)acetate ethyl ester
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-fluorophenyl)acetate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-phthalimidopropionate ethyl ester
- 25      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine neopentyl ester
- N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester

- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-valinyl]morpholine
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-valine ethyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-threonine methyl ester
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanoate methyl ester
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-*tert*-butoxybutyryl]morpholine
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-isoleucinyl]morpholine
- 10      *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-isoleucine methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-isoleucine
- N*-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-threoninyl]-*L*-valine ethyl ester
- 15      *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanoate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-leucine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-leucine methyl ester
- N*-2-methoxyethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 20      *N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-cyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-neopentyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-tetrahydrofurfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 25      *N*-2-pyridylmethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide

- 3-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninyl]thiazolidine
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- 5      *N*-(*R*)-*sec*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 1-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninyl]pyrrolidine
- N*-(*S*)-*sec*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-valine methyl ester
- N*-2-fluoroethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[(*S*)-6-methyl-3-oxohept-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 15      *N*-4-nitrobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutyramide
- N*-4-nitrobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanamide
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-fluorophenyl)acetate methyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(5-chlorobenzothiophen-2-yl)acetate methyl ester
- 25      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-2-yl)acetate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-3-yl)acetate methyl ester
- 30      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-thienyl)acetate methyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-5-yl)acetate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetate methyl ester
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetate *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetic acid
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(1*H*-tetrazol-5-yl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(6-methoxy-2-naphthyl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-trifluoromethylphenyl)acetate methyl ester
- 15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4,5,6,7-tetrahydrobenzothiophen-2-yl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(thieno[2,3-*b*]thiophen-2-yl)acetate methyl ester
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-methylthiazol-4-yl)acetate methyl ester
- (3*S*,4*S*)-*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-4-amino-3-hydroxy-5-phenylpentanoate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohex-4-enoate methyl ester
- 25      *N*-[*N*-(cyclopropylacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- N-tert*-butyl-*N'*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(4-phenylphenyl)acetamide
- N*-[*N*-(3,5-difluorophenylacetyl)-(*S*)-2-aminobutanoyl]-*L*-phenylglycine *tert*-Butyl Ester
- 30      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-valinyl]-*L*-phenylglycine *tert*-butyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-methioninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-valinyl]-*L*-phenylglycine methyl ester
- 5 *N*-[*N*-(3,5-difluorophenylacetyl)-2-aminobutanoyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-leucinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylalaninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)glycinyl]-*L*-phenylglycine methyl ester
- 10 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-alanine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-leucine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-isoleucine methyl ester
- 15 *N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-proline methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*N*<sub>t</sub>-(*tert*-butoxycarbonyl)-*L*-lysine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-glycine methyl ester
- 20 *N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanoate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-valine
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-*N*-methylalanine methyl ester
- 25 *N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester
- N*-[*N*-(isovaleryl)-*L*-isoleucinyl]-*L*-alanine *iso*-butyl ester

- N*-Cyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-hydroxyproline ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-lysine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-glutamide
- 10      1-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]piperidine-2-carboxylate methyl ester
- N*-[(*S*)-3-hydroxy-6-methylhept-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-hydroxy-1-phenyleth-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 15      *N*-[*N*-(3,5-difluorophenyl- $\alpha$ -fluoroacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-2-(*S*)-aminocyclohexylacetyl]-*L*-phenylglycine methyl ester
- N*-[(1*R*,2*S*)-1-hydroxy-1-phenylprop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 20      *N*-[(1*R*,2*S*)-1-hydroxy-1,2-diphenyleth-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(1*S*,2*R*)-1-hydroxy-1-phenylprop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 25      *N*-2-methoxyethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-glycinamide
- N*-[(*S*)- $\alpha$ -hydroxy- $\alpha$ -phenyl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-hydroxy-1,2-diphenylethyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 30      *N*-[(*S*)-1-hydroxyhex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide

- N*-[ $\alpha$ -hydroxy- $\alpha'$ -(4-hydroxyphenyl)-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-2-pyridylmethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- 5     *N*-[ $\alpha$ -hydroxy- $\alpha'$ -pyrid-2-yl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[ $\alpha$ -hydroxy- $\alpha'$ -pyrid-4-yl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 10     *N*-[(*S*)-1-hydroxy-4-methylpent-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[ $\alpha$ -methoxy-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-hydroxy-3-methyl-but-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 15     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(6-aminopyrid-2-yl)acetate methyl ester
- N*-[1-hydroxy-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-methoxy-1-phenyleth-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 20     *N*-[(*S*)-1-methoxy-2-phenyl-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-1-acetoxylhex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-1-(*tert*-butylcarbonyloxy)-hex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 25     *N*-[2-hydroxy-1-(thien-2-yl)ethyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-hydroxy-2-methyl-1-phenylprop-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(thien-2-yl)glycinyl]-*L*-phenylalanine *tert*-butyl ester
- 30     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycinol

- N*-[*N*-(cyclopropaneacetyl)-*L*-phenylglyciny]-*L*-phenylglycinol
- N*-[*N*-(cyclopentaneacetyl)-*L*-phenylglyciny]-*L*-phenylglycinol
- N*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-phenylglyciny]-*D,L*-phenylglycinamide
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-valiny]-*D,L*-phenylglycinamide
- N*-[*N*-(2-thienylacetyl)-*L*-alaniny]-*L*-phenylglycinamide
- N*-[*N*-(*n*-caprotyl)-*L*-alaniny]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-norleuciny]-*L*-phenylglycine methyl ester
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-norvaliny]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L-tert*-leuciny]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-isoleuciny]-*L*-phenylglycine methyl ester
- 15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-cyclohexylalaniny]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-(*S*)-2-amino-2-(cyclopropyl)acetyl]-*L*-phenylglycine methyl ester
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-(*S*)-2-amino-2-(thien-3-yl)acetyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-(*S*)-2-amino-2-(thien-2-yl)acetyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(4-fluorophenyl)glyciny]-*L*-phenylglycine methyl ester
- 25      *N*-[*N*-(3,5-difluorophenylacetyl)-*D*-(4-fluorophenyl)glyciny]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(4-methoxyphenyl)glyciny]-*L*-phenylglycine methyl ester



- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglyciny]-*L*-phenylglycine *tert*-butyl ester
- 
- N*-[*N*-(cyclopropylacetyl)-*L*-phenylglyciny]-*L*-phenylglycine *tert*-butyl ester
- 5      *N*-[*N*-(cyclopentylacetyl)-*L*-phenylglyciny]-*L*-phenylglycine *tert*-butyl ester
- N*-[*N*-(*tert*-butylacetyl)-*L*-alaniny]-*L*-phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-(5-bromothien-2-yl)glycinamide
- 10      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*D*-(5-bromothien-2-yl)glycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-(4-bromothien-2-yl)glycinamide
- 15      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-(thien-2-yl)glycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*D*-(thien-2-yl)glycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-(thien-3-yl)glycinamide
- 20      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*D*-(thien-2-yl)glycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*D*-phenylglycinamide
- 25      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*D*,*L*-(5-chlorothien-2-yl)glycinamide
- N*-Cyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*D*-4-(phenyl)phenylglycinamide
- 30      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-3-(phenoxy)phenylglycinamide

- N*-(S)-(-)- $\alpha$ -methylbenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-3-(phenyl)phenylglycinamide
- 5      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-(ethyl)phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-2-(phenyl)phenylglycinamide
- 10      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-2-(benzyl)phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-4-bromophenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-(cyclohexyl)phenylglycinamide
- 15      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-(4-ethylphenyl)phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-4-(*tert*-butyl)phenylglycinamide
- 20      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-3-(4-chlorophenoxy)phenylglycinamide
- N*-cyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-(phenyl)phenylglycinamide
- N*-[*N*-(3,5-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]-L-phenylglycine *tert*-butyl ester
- 25      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenyl- $\alpha,\alpha$ -difluoroacetyl)-L-alaninyl]-L-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-D-phenylglycine *tert*-butyl ester
- 30      *N*-[(S)-1-oxo-1-phenylprop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-L-alaninamide

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-(pyrid-3-yl)glycine *tert*-butyl ester
- [*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinyl]morpholine
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-(2-methoxy)phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycine *N-tert*-butoxycarbonyl(hydroxyl amine) ester
- 10      *N*-neopentyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-tetrahydrofurfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-methoxy-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 15      [*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinyl]azetidine
- N*-*iso*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 20      *N*-cyclopropanemethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-methoxy-*N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-2-methylprop-2-enyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 25      *N*-(pyrid-3-yl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-(pyrid-4-yl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 30      *N*-furfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide

- N*-cyclopentyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-1-benzylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 5      *N,N*-dimethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-2,2,6,6-tetramethylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 10      *N*-2-methylcyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-4-methylcyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-1-ethoxycarbonylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 15      *N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N-tert*-butoxy-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycine *N-tert*-butyl(hydroxylamine) ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine hydrazide
- N*-(1-ethoxyethen-1-yl)-[*N'*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine hydrazide
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- 25      *N*-4-(phenyl)butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-3-(4-iodophenoxy)propyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 30      *N*-6-(amino)hexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide Hydrochloride

- N*-1-(phthalimido)pent-2-yl-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(3,5-difluorophenyl)glycinyl]-*L*-(3,5-difluorophenyl)glycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-norleucine
- 5      *N*-[*N*-(cyclopentaneacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-fluorophenylglycine *iso*-propyl ester
- N*-(isopropyl) *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 10      *N*-[*N*-(cyclopentylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(cyclopropylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine *iso*-butyl ester
- 15      *N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycine methyl ester
- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-(3- $\alpha$ -phenyl)proline methyl ester
- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-azetidine methyl ester
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(5-chlorobenzothiophen-2-yl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(thiazol-4-yl)propionate *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide *tert*-butyl ester
- 25      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-(thien-2-yl)glycinamide
- N*-[*N*-(3,4-dichlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-chlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-bromophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide

- N*-[*N*-(3-fluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(4-fluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-methylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(4-methylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 5 *N*-[*N*-(3-trifluoromethylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-methoxyphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(2-chlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(1-naphthylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(2-naphthylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 10 *N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycine
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-furanyl)acetamide
- 15 *N'*-[*N*-(3,5-difluorophenylacetyl)-*D*-alaninyl]-*D*-phenylglycinamide
- N'*-[*N*-(3,4-difluorophenylacetyl)-*D*-alaninyl]-*D*-phenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanin-*N*-methylsulfonamide
- 20 *N''*-methyl-*N''*-phenyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-glycinamide
- N''*-methyl-*N''*-phenyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-methioninyl]-*L*-phenylglycinamide
- 25 *N''*-methyl-*N''*-benzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-glycinamide

- N''*-4-fluorobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-(4-fluoro)phenylglycine neopentyl ester
- 5     *N*-[*N*-(2,3,4,5,6-pentafluorophenylacetyl)-L-alaninyl]-L-(pyrid-3-yl)glycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-(pyrid-3-yl)glycine *tert*-butyl ester
- 10     *N*-[*N*-(3,5-difluorophenylacetyl)-L-(O-benzyl)serinyl]-L-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-(O-benzyl)threoninyl]-L-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-threoninyl]-L-phenylglycine methyl ester
- 15     *N*-[*N*-(3,5-difluorophenylacetyl)-L-serinyl]-L-phenylglycine methyl ester
- N''*-4-methylphenyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylglycinamide
- N''*-tetrahydrofurfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylglycinamide
- 20     *N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-fluorophenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-L-methionyl]-L-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-2-aminobutanoyl]-L-phenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-L-phenylglycinyl]-L-phenylglycinamide
- 25     *N*-[*N*-(3,5-difluorophenylacetyl)-L-valinyl]-L-phenylglycinamide
- N*-[(*R*)- $\alpha$ -methylbenzyl]-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylglycinamide
- N*-[1-phenyl-2-oxo-3-methylbutan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-L-alaninamide

- N*-[1-phenyl-2-oxo-propan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-pentan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 5     *N*-[1-phenyl-2-oxo-2-phenyl-ethan-1-yl]-*N'*-(3,5-difluorophenyl-acetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-butan-1-yl]-*N'*-(3,5-difluorophenyl-acetyl)-*L*-alaninamide
- 10     *N*-[1-phenyl-2-oxo-4-methylpentan-1-yl]-*N'*-(3,5-difluorophenyl-acetyl)-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*- $\alpha$ -hydroxyphenylalanine methyl ester
- N''*-[4-((2-hydroxy-4-azido)-phenyl)-NHC(O)-)butyl] *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 15     *N*-[(*S*)-1-phenyl-2-oxo-2-phenyl-ethan-1-yl]-*N'*-(3,5-difluorophenyl-acetyl)-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-fluorophenylglycine *tert*-butyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-phenylphenylglycine *tert*-butyl ester
- 20     [ *N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-(2,3-benzo[*b*]proline) methyl ester
- N''-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-*n*-butylphenylglycinamide
- 25     *N''-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-4-(phenylacetenyl)phenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycynthioamide
- N*-[1,3-diphenyl-2-oxo-propan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 30     *N*-[1-phenyl-2-oxo-2-cyclopentylethan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide



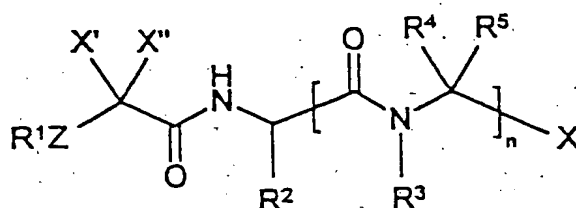
- N*-[1-phenyl-2-oxo-hexan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-3-methylpentan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 5      *N''*-*n*-hexyl-6-biotinamidyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycynthioamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-methioninyl]-*L*-methionine
- N'*-[*N*-(2-*tert*-BOC-amino)propionyl]-*L*-alaninyl]-*L*-phenylglycine methyl ester
- 10      *N''*-*tert*-butyl *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-2-fluorophenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-2-phenylglycine methyl ester
- 15      *N*-[(*S*)-1-phenyl-2-oxo-3-phenylpropan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-thien-3-ylglycinyl]-*D,L*-2-phenylglycine
- N'*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-thien-3-ylglycinyl]-*D,L*-2-phenylglycine *tert*-butyl ester
- 20      *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-thien-3-ylglycinyl]-*L*-2-phenylglycine
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-thien-3-ylglycinyl]-*L*-2-phenylglycine *tert*-butyl ester
- N*-[2-hydroxy-1-(*S*)phenyleth-1-yl]-*N'*-[(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-alaninamide
- 25      *N*-[2-hydroxyeth-1-yl]-*N'*-[(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N'*-[*N*-(3,5-difluorophenyl-2-oxo-acetyl)-*L*-alaninyl]-*L*-2-phenylglycine *tert*-butyl ester
- [*N*-(2,5-dichlorophenoxyacetyl)-*L*-alaninyl]-*L*-phenylglycine methyl ester
- 30      [*N*-(3,5-difluorophenoxyacetyl)-*L*-alaninyl]-*L*-phenylglycine methyl ester

[N-(3,4-dichlorothiophenoxyacetyl)-L-alaninyl]-L-phenylglycine methyl ester

[N-(3-aminopropionyl)-L-alaninyl]-L-phenylglycine *tert*-butyl ester; and

[N-(3-*tert*-butoxycarbonylamino)propionyl)-L-alaninyl]-L-phenylglycine *tert*-butyl ester.

32. A pharmaceutical composition comprising a pharmaceutically inert carrier and pharmaceutically effective amount of a compound of formula I:



wherein R¹ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic;

R² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

each R³ is independently selected from the group consisting of hydrogen and methyl and R³ together with R⁴ can be fused to form a cyclic structure of from 3 to 8 atoms which is optionally fused with an aryl or heteroaryl group;

each R⁴ is independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, substituted alkyl, substituted alkenyl and substituted alkynyl;

each R⁵ is selected from hydrogen and methyl or together with R⁴ forms a cycloalkyl group of from 3 to 6 carbon atoms;

X is selected from the group consisting of -C(O)Y and -C(S)Y where Y

is selected from the group consisting of

(a) alkyl or cycloalkyl,

(b) substituted alkyl with the proviso that the substitution on said substituted alkyl do not include  $\alpha$ -haloalkyl,  $\alpha$ -diazoalkyl,  $\alpha$ -OC(O)alkyl, or  $\alpha$ -OC(O)aryl groups,

(c) alkoxy or thioalkoxy,

5 (d) substituted alkoxy or substituted thioalkoxy,

(e) hydroxy,

(f) aryl,

(g) heteroaryl,

(h) heterocyclic,

10 (i)  $-NR'R''$  where  $R'$  and  $R''$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, where one of  $R'$  or  $R''$  is hydroxy or alkoxy, and where  $R'$  and  $R''$  are joined to form a cyclic group having from 2 to 8 carbon atoms optionally containing 1 to 2 additional  
15 heteroatoms selected from oxygen, sulfur and nitrogen and optionally substituted with one or more alkyl, alkoxy or carboxylalkyl groups,

(j)  $-NHSO_2-R^8$  where  $R^8$  is selected from alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

(k)  $-NR^9NR^{10}R^{10}$  where  $R^9$  is hydrogen or alkyl, and each  $R^{10}$  is  
20 independently selected from hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, and

(l)  $-ONR^9[C(O)O]_zR^{10}$  where  $z$  is zero or one,  $R^9$  and  $R^{10}$  are as defined above;

X can also be  $-CR^6R^6Y'$  where each  $R^6$  is independently selected from  
25 the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic and  $Y'$  is selected from the group consisting of hydroxyl, amino, thiol, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy,  $-OC(O)R^7$ ,  $-SSR^7$ ,  $-SSC(O)R^7$  where  $R^7$  is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and  
30 heterocyclic,

$X'$  is hydrogen, hydroxy, or fluoro;

X" is hydrogen, hydroxy or fluoro, or X' and X" together form an oxo group,

Z is selected from the group consisting of a bond covalently linking R<sup>1</sup> to -CX'X"-, oxygen and sulfur;

5        n is an integer equal to 1 or 2; and  
pharmaceutically acceptable salts thereof  
with the provisos that:

A. when R<sup>1</sup> is phenyl or 3-nitrophenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is -CH(OH)CH<sub>3</sub>, R<sup>5</sup> is hydrogen, X' and X" are hydrogen, Z is a bond, and n  
10        is 1, then X is not -C(O)OH;

B. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is -CH(OH)CH<sub>3</sub> derived from D-threonine, R<sup>5</sup> is hydrogen, X' and X" are hydrogen, Z is a bond, and n is 1, then X is not -C(O)OH or -C(O)OCH<sub>3</sub>;

C. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>4</sup> is benzyl, R<sup>5</sup> is hydrogen, X is  
15        methoxycarbonyl, X' and X" are hydrogen, Z is a bond, and n is 1, then R<sup>3</sup> is not methyl;

D. when R<sup>1</sup> is *iso*-propyl, R<sup>2</sup> is -CH<sub>2</sub>C(O)NH<sub>2</sub>, R<sup>3</sup> is hydrogen, R<sup>4</sup> is *iso*-butyl, R<sup>5</sup> is hydrogen, X' and X" are hydrogen, Z is a bond, and n is 1, then X is not -C(O)OCH<sub>3</sub>;

20        E. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>5</sup> is hydrogen, X is -C(O)OCH<sub>3</sub>, X' and X" are hydrogen, Z is a bond, and n is 1, then R<sup>3</sup>, the nitrogen atom attached to R<sup>3</sup>, and R<sup>4</sup> do not form 1,2,3,4-tetrahydro*iso*-quinolin-2-yl or pyrrolidin-2-yl;

F. when R<sup>1</sup> is phenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>5</sup> is hydrogen, X  
25        is -C(O)OCH<sub>3</sub>, X' and X" are hydrogen, Z is a bond, and n is 1, then R<sup>4</sup> is not 4-amino-*n*-butyl;

G. when R<sup>1</sup> is 3-nitrophenyl, R<sup>2</sup> is methyl, R<sup>3</sup> is hydrogen, R<sup>4</sup> is -CH(OH)CH<sub>3</sub>, R<sup>5</sup> is hydrogen, X' and X" are hydrogen, Z is a bond, and n is 1, then X is not -C(O)NH<sub>2</sub> or -CH<sub>2</sub>OH;

H. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^5$  is hydrogen, X is  $-\text{CH}_2\text{OCH}_3$ ,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then  $R^4$  is not benzyl or ethyl;

5 I. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is methyl,  $R^4$  is methyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{CHOH}\phi$ ;

J. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{CHOH}\phi$  or  $-\text{CH}_2\text{OH}$ ;

10 K. when  $R_1$  is *N*-(2-pyrrolidinonyl),  $R_2$  is methyl,  $R_3$  is hydrogen,  $R_4$  is benzyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{OCH}_3$ ;

15 L. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl derived from D-alanine,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{NH-benzyl}$ ;

M. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is hydrogen,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{CH}_2\text{OH}$ ;

20 N. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is 4-phenylphenyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{NHC}(\text{CH}_3)_3$ ; and

O. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen, Z is a bond, and  $n$  is 1, then X is not  $-\text{C}(\text{O})\text{NHCH}(\text{CH}_3)\phi$ .

25 33. The pharmaceutical composition according to Claim 32 wherein  $R^1$  is an unsubstituted aryl group and Z is a bond covalently linking  $R^1$  to  $-\text{CX}'\text{X}''-$ .

34. The pharmaceutical composition according to Claim 33 wherein the unsubstituted  $R^1$  aryl group is selected from the group consisting of phenyl,

1-naphthyl and 2-naphthyl.

35. The pharmaceutical composition according to Claim 32 wherein R<sup>1</sup> is a substituted aryl group and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

5        36. The pharmaceutical composition according to Claim 35 wherein said substituted aryl group is a mono-substituted, di-substituted or tri-substituted phenyl group.

10        37. The pharmaceutical composition according to Claim 36 wherein the substituted phenyl groups are selected from the group consisting of 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-methylphenyl, 3-methoxy-phenyl, 3-nitrophenyl, 3-fluorophenyl, 3-chlorophenyl, 3-bromophenyl, 3-thiomethoxyphenyl, 3-methylphenyl, 3-trifluoromethylphenyl, 2-hydroxy-phenyl, 2-methylphenyl, 2-fluorophenyl, 2-chlorophenyl, 3,4-difluorophenyl, 2,3,4,5,6-pentafluorophenyl, 3,4-dibromophenyl, 3,4-dichlorophenyl, 3,4-methylene-dioxyphenyl, 3,5-difluorophenyl, 3,5-  
15        dichlorophenyl, 2,4-dichlorophenyl, and 2,5-difluorophenyl.

38. The pharmaceutical composition according to Claim 32 wherein R<sup>1</sup> is an alkaryl group and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

20        39. The pharmaceutical composition according to Claim 38 wherein the R<sup>1</sup> alkaryl group is selected from the group consisting of benzyl, 2-phenylethyl, and 3-phenyl-*n*-propyl.

40. The pharmaceutical composition according to Claim 32 wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, cycloalkyl and cycloalkenyl groups and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

41. The pharmaceutical composition according to Claim 40 wherein R<sup>1</sup> is alkyl.

42. The pharmaceutical composition according to Claim 40 wherein R<sup>1</sup> is cycloalkyl.

5           43. The pharmaceutical composition according to Claim 40 wherein R<sup>1</sup> is alkenyl.

44. The pharmaceutical composition according to Claim 40 wherein R<sup>1</sup> is cycloalkenyl.

10           45. The pharmaceutical composition according to Claim 40 wherein the R<sup>1</sup> alkyl, cycloalkyl, alkenyl and cycloalkenyl groups are selected from the group consisting of *iso*-propyl, *n*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH=CH<sub>2</sub>, -CH<sub>2</sub>CH=CH(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub>, cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclohex-1-enyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-cyclopentyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopentyl, aminomethyl, and  
15           N-*tert*-butoxycarbonylaminomethyl.

46. The pharmaceutical composition according to Claim 32 wherein R<sup>1</sup> is selected from the group consisting of heteroaryl and substituted heteroaryl groups and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

20           47. The pharmaceutical composition according to Claim 46 wherein the R<sup>1</sup> heteroaryl and substituted heteroaryl groups are selected from the group consisting of pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, fluoropyridyls (including 5-fluoropyrid-3-yl), chloropyridyls (including 5-chloropyrid-3-yl), thien-2-yl, thien-3-yl, benzothiazol-4-yl, 2-phenylbenzoxazol-5-yl, furan-2-yl, benzofuran-  
25           2-yl, thionaphthen-2-yl, 2-chlorothiophen-5-yl, 3-methylisoxazol-5-yl, 2-

(thiophenyl)thiophen-5-yl, 6-methoxythionaphthen-2-yl, 3-phenyl-1,2,4-thioxadiazol-5-yl and 2-phenyloxazol-4-yl.

48. The pharmaceutical composition according to Claim 32 wherein R<sup>2</sup> is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic.

49. The pharmaceutical composition according to Claim 48 wherein R<sup>2</sup> is selected from the group consisting of methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, phenyl, 4-fluorophenyl, 3,5-difluoro-phenyl, 4-methoxyphenyl, benzyl, cyclopropyl, cyclohexyl, cyclopentyl, cycloheptyl, thien-2-yl, thien-3-yl, -CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>OCH<sub>2</sub>φ, -CH(CH<sub>3</sub>)OCH<sub>2</sub>φ, -CH(OH)CH<sub>3</sub> and -CH<sub>2</sub>OH.

50. The pharmaceutical composition according to Claim 32 wherein X' and X'' are hydrogen and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

51. The pharmaceutical composition according to Claim 50 wherein R<sup>3</sup> is selected from the group consisting of hydrogen, methyl or together with R<sup>4</sup> and the nitrogen to which R<sup>3</sup> is attached forms pyrrolidin-2-yl, 2,3-dihydroindol-2-yl, piperidin-2-yl, 4-hydroxy-pyrrolidin-2-yl and 1,2,3,4-tetrahydroisoquinolin-3-yl.

52. The pharmaceutical composition according to Claim 32 wherein R<sup>4</sup> substituents are selected from the group consisting of hydrogen, methyl, ethyl, *iso*-propyl, *n*-propyl, *n*-butyl, *sec*-butyl, *iso*-butyl, cyclopentyl, cyclohexyl, allyl, *iso*-but-2-enyl, 3-methylpentyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-indol-3-yl, phenyl, *p*-(phenyl)phenyl, *m*-(phenyl)phenyl, *o*-fluorophenyl, *m*-fluorophenyl, *p*-fluorophenyl, *p*-bromophenyl, *m*-methoxyphenyl, *p*-methoxyphenyl, phenethyl, benzyl, *m*-hydroxybenzyl,



*p*-hydroxybenzyl, *p*-nitrobenzyl, *m*-trifluoromethylphenyl,  
*p*-(CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-benzyl, *p*-(CH<sub>3</sub>)<sub>3</sub>COC(O)CH<sub>2</sub>O-benzyl,  
*p*-phenylphenyl, 3,5-difluorophenyl, *p*-(HOOCCH<sub>2</sub>O)-benzyl, 2-aminopyrid-6-  
 yl, 4-(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, -CH<sub>2</sub>CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-imidazol-4-  
 5    yl, -CH<sub>2</sub>-(3-tetrahydrofuranyl), -CH<sub>2</sub>-thien-2-yl, -CH<sub>2</sub>-thiazol-4-yl, -CH<sub>2</sub>(1-  
 methyl)cyclopropyl, -CH<sub>2</sub>-thien-3-yl, thien-3-yl, thien-2-yl, -CH<sub>2</sub>-C(O)O-*t*-  
 butyl, -CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methylcyclopentyl, -cyclohex-2-  
 enyl, -CH[CH(CH<sub>3</sub>)<sub>2</sub>]COOCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>,  
 -CH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>, -CH<sub>2</sub>CH=CHCH<sub>3</sub> (cis and trans), -CH<sub>2</sub>OH, -CH(OH)CH<sub>3</sub>,  
 10    -CH(O-*t*-butyl)CH<sub>3</sub>, -CH<sub>2</sub>OCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>4</sub>NH-Boc, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>4</sub>N(CH<sub>3</sub>)<sub>2</sub>,  
 -CH<sub>2</sub>-pyridyl, pyridyl, -CH<sub>2</sub>-naphthyl, -CH<sub>2</sub>-(N-morpholino), *p*-(N-morpholino-  
 CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, benzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-  
 chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl,  
 benzo[b]thiophen-3-yl, tetrazol-5-yl, 5-chlorobenzo[b]thiophen-3-yl,  
 15    benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH<sub>2</sub>-N-phthalimidyl,  
 2-methylthiazol-4-yl, and thieno[2,3-*b*]thiophen-2-yl,  
 5-bromothien-2-yl, 4-bromothien-2-yl, 5-chlorothien-2-yl, 3-phenoxyphenyl,  
 2-phenoxyphenyl, 4-ethylphenyl, 2-benzylphenyl, (4-ethylphenyl)phenyl, 4-*tert*-  
 butylphenyl, 4-*n*-butylphenyl, *o*-(4-chlorophenoxy)phenyl, furan-2-yl, and 4-  
 20    phenylacetylenylphenyl.

53. The pharmaceutical composition according to Claim 32 wherein Z  
 is a covalent bond linking R<sup>1</sup> to -CX'X"- and R<sup>4</sup> and R<sup>5</sup> are fused to form a  
 cycloalkyl group selected from the group consisting of cyclopropyl and  
 cyclobutyl.

25        54. The pharmaceutical composition according to Claim 32 wherein Z  
 is a covalent bond linking R<sup>1</sup> to -CX'X"-, X is -C(O)Y and Y is selected from  
 the group consisting of hydroxy, alkoxy or substituted alkoxy.

55. The pharmaceutical composition according to Claim 54 wherein Y is alkoxy or substituted alkoxy selected from the group consisting of methoxy, ethoxy, *n*-propoxy, *iso*-propoxy, *n*-butoxy, *iso*-butoxy, *tert*-butoxy, *neo*-pentoxy, benzyloxy, 2-phenylethoxy, 3-phenyl-*n*-propoxy, 3-iodo-*n*-propoxy, 4-bromo-*n*-butoxy, -ONHC(O)OC(CH<sub>3</sub>)<sub>3</sub>, -ONHC(CH<sub>3</sub>)<sub>3</sub> and hydroxy.

56. The pharmaceutical composition according to Claim 32 wherein Z is a covalent bond linking R<sup>1</sup> to -CX'X"-, X is -C(O)Y and Y is -NR'R".

57. The pharmaceutical composition according to Claim 56 wherein Y is selected from the group consisting of amino (-NH<sub>2</sub>), -NH(*iso*-butyl), -NH(*sec*-butyl), N-methylamino, N,N-dimethylamino, N-benzylamino, N-morpholino, azetidino, N-thiomorpholino, N-piperidinyl, N-hexamethyleneimino, N-heptamethylene-imino, N-pyrrolidinyl, -NH-methylallyl, -NHCH<sub>2</sub>-(furan-2-yl), -NHCH<sub>2</sub>-cyclopropyl, -NH(*tert*-butyl), -NH(*p*-methylphenyl), -NHOCCH<sub>3</sub>, -NHCH<sub>2</sub>(*p*-fluorophenyl), -NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -NH-cyclopentyl, -NH-cyclohexyl, -NHCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -NHCH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -NHCH<sub>2</sub>-(pyrid-2-yl), -NHCH<sub>2</sub>-(pyrid-3-yl), -NHCH<sub>2</sub>-(pyrid-4-yl), N-thiazolindinyl, -N(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -N[CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>]<sub>2</sub>, -NHOH, -NH(*p*-NO<sub>2</sub>-φ), -NHCH<sub>2</sub>(*p*-NO<sub>2</sub>-φ), -NHCH<sub>2</sub>(*m*-NO<sub>2</sub>-φ), -N(CH<sub>3</sub>)OCH<sub>3</sub>, -N(CH<sub>3</sub>)CH<sub>2</sub>-φ, -NHCH<sub>2</sub>-(3,5-di-fluorophenyl), -NHCH<sub>2</sub>CH<sub>2</sub>F, -NHCH<sub>2</sub>(*p*-CH<sub>3</sub>O-φ), -NHCH<sub>2</sub>(*m*-CH<sub>3</sub>O-φ), -NHCH<sub>2</sub>(*p*-CF<sub>3</sub>-φ), -N(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>φ, -NHCH(CH<sub>3</sub>)φ, -NHCH<sub>2</sub>-(*p*-F-φ), -N(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -NHCH<sub>2</sub>-(tetrahydrofuran-2-yl), -NHCH<sub>2</sub>(*p*-trifluoromethylphenyl), -NHCH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>, -NH-[(*p*-benzyl)pyrid-4-yl], -NH-[(2,6-dimethyl)pyrid-4-yl], -NH-(2-methylcyclohexyl), -NH-(4-methylcyclohexyl), -NH-[N-ethoxycarbonyl]-piperidin-4-yl, -NHOC(CH<sub>3</sub>)<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-φ, -C(O)NH(CH<sub>2</sub>)<sub>3</sub>O-(*p*-CH<sub>3</sub>)φ, -C(O)NH(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>, -NH-(tetrahydrofuran-2-yl), -N(CH<sub>3</sub>)φ, -NH(CH<sub>2</sub>)<sub>4</sub>NHC(O)-(2-hydroxy-4-azido)-phenyl and -NH(CH<sub>2</sub>)<sub>6</sub>-(biotinamidyl).

58. The pharmaceutical composition according to Claim 32 wherein X is -C(O)Y and Y is selected from the group consisting of

- 5 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>OH, -CH(OH)CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH(OH)φ,  
-CH(OH)CH<sub>2</sub>C(O)OCH<sub>3</sub>, -C(OH)(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>OC(O)OCH<sub>3</sub>, and  
-CH<sub>2</sub>OC(O)C(CH<sub>3</sub>)<sub>3</sub>, methyl, ethyl, *iso*-propyl, *n*-propyl, *iso*-butyl, *n*-butyl,  
*sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>-pyridy-2-yl, -CH<sub>2</sub>-pyridy-3-yl,  
-CH<sub>2</sub>-pyridy-4-yl, -CH<sub>2</sub>-fur-2-yl, benzyl, cyclopentyl, phenyl, and  
-NH-SO<sub>2</sub>-CH<sub>3</sub>.

59. The pharmaceutical composition according to Claim 32 wherein Z  
10 is a covalent bond linking R<sup>1</sup> to -CX'X"-.

60. The pharmaceutical composition according to Claim 32 wherein the compound of formula I is selected from the group consisting of:

- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanoate methyl ester
- 15 *N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-histidine methyl ester
- N*-benzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanamide
- N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanamide
- 20 *N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanamide
- N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- 25 *N*-(4-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide
- N*-(3-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalaninamide

- N*-(4-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanoate *tert*-butyl ester
- 5      *N*-[*N*-(pent-4-enoyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(dec-4-enoyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-[3-(*N,N*-dimethylamino)propoxy]phenylalanine methyl ester
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-[(*tert*-butyloxycarbonyl)methoxy]phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-tyrosine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(carboxymethoxy)phenylalanine methyl ester
- 15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(2-morpholinoethoxy)phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-6-(*N,N*-dimethylamino)hexanoate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-pyridyl)propionate methyl ester
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(3-pyridyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-proline methyl ester
- 1-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]piperidine-2-carboxylate methyl ester
- 25      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(4-pyridyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-methoxypropionate methyl ester
- 30      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-morpholinopropionate methyl ester

- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(2-morpholinoethoxy)phenylalaninamide
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-methoxypropionamide
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine methyl ester
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(4-pyridyl)propionamide
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(2-pyridyl)propionamide
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(thiazol-4-yl)propionate methyl ester
- 2-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxylate methyl ester
- 15      *N*-(3-methoxybenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(1-naphthyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-naphthyl)propionate methyl ester
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-thienyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine benzyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine 3-bromopropyl ester
- 25      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine 3-iodopropyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-leucine *tert*-butyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-pyridyl)acetamide

- N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-2-amino-2-(3-pyridyl)acetamide
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-*N'*-(*tert*-butoxycarbonyl)-L-lysine methyl ester
- 5      methyl *N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(*S*)-2-amino-4-phenylbutanoate
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]glycine 2-phenylethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]glycine 3-phenylpropyl ester
- 10      *N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-2-amino-2-(4-pyridyl)acetamide
- N*-[*N*-(phenylacetyl)-L-alaninyl]-L-threonine methyl ester
- N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-leucinamide
- N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-alaninamide
- N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-phenylalaninamide
- 15      *N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-valinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-2-amino-2-(3-pyridyl)acetate ethyl ester
- N*-methyl-*N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-leucinamide
- N,N*-dimethyl-*N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-phenylalaninamide
- 20      *N,N*-dimethyl-*N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-leucinamide
- N,N*-dimethyl-*N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-valinamide
- N*-methyl-*N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-phenylalaninamide
- N*-methyl-*N'*-[*N*-(phenylacetyl)-L-alaninyl]-L-valinamide
- 25      *N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(*S*)-2-aminohexanamide
- N,N*-dimethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(*S*)-2-aminohexanamide

- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-methoxyphenyl)acetate methyl ester
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-methoxyphenyl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-pyridyl)acetate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-pyridyl)acetate ethyl ester
- 10      *N*-[*N*-(cyclohexylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(cyclopentylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(cyclohex-1-enylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-1-aminocyclopropane-1-carboxylate methyl ester
- 15      *N*-2-(*N,N*-dimethylamino)ethyl-*N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[*N*-(cyclopropylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine benzyl ester
- N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- 20      *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-alanine ethyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]glycine ethyl ester
- N*-hydroxy-*N'*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*D,L*-threoninamide
- N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester
- 25      *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-2-amino-3-(3-hydroxyphenyl)propionate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-tyrosine ethyl ester

- N*-[*N*-(isovaleryl)-*L*-isoleucinyl]-*L*-alanine *iso*-butyl ester
- N*-[*N*-[*N*-(isovaleryl)-*L*-valinyl]-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester
- N*-[*N*-(isovaleryl)-*L*-phenylalaninyl]-*L*-alanine *iso*-butyl ester
- 5     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alanine ethyl ester
- 1-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-indoline-(*S*)-2-carboxylate ethyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-methoxy-*N*-methyl-*N'*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alaninamide
- 10     *N*-*iso*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N,N*-di-*n*-propyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-valinamide
- 15     *N*-(4-nitrophenyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N'*-[*N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alaninyl]-*L*-phenylalaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-*iso*-butyl-*N'*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alaninamide
- 20     *N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-(4-nitrobenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 25     *N*-(4-nitrophenyl)-*N'*-[*N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alaninyl]-*L*-alaninamide
- N*-(4-nitrophenyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide



- N*-benzyl-*N*-methyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-(3,5-difluorobenzyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 5      *N*-(3-nitrobenzyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-benzyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-(4-nitrobenzyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-tryptophan methyl ester
- N*-(4-methoxybenzyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[*N*-(phenylacetyl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- 15      *N*-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(cyclohexylacetyl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninyl]-*L*-phenylglycine methyl ester
- 20      *N*-(2-phenylethyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-tryptophanamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-cyclohexylpropionate methyl ester
- 25      *N*-(2-methoxyethyl)-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(4-nitrophenyl)propionamide
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-serine ethyl ester
- N*-[(*R*)- $\alpha$ -methylbenzyl]-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide

- N*-[(*S*)- $\alpha$ -methylbenzyl]-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-(4-fluorobenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 5      *N*-(4-pyridylmethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-(4-trifluoromethylbenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-phenylpropionate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-methylpropionate methyl ester
- 15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-cyclohexylacetate ethyl ester
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(isovaleryl)-2-amino-2-cyclohexylacetyl]-*L*-alanine ethyl ester
- 20      *N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-(2-pyridylmethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(3-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- 25      *N*-[*N*-(2-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(4-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-fluorophenyl)acetate ethyl ester
- 30      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-fluorophenyl)acetate ethyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglyciny]-*L*-alanine ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-2-amino-3-phthalimidopropionate ethyl ester
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylglycine neopentyl ester
- N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylglycine *tert*-butyl ester
- 10      *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylglycinamide
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-*L*-valiny]morpholine
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-*L*-valine ethyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-*L*-threonine methyl ester
- 15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-(*S*)-2-aminopentanoate methyl ester
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-(*S*)-2-amino-3-*tert*-butoxybutyryl]morpholine
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-*L*-isoleuciny]morpholine
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-*L*-isoleucine methyl ester
- 20      *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-*L*-isoleucine
- N*-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-*L*-threoniny]-*L*-valine ethyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-(*S*)-2-aminopentanoate methyl ester
- 25      *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaniny]-*L*-leucine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-leucine methyl ester
- N*-2-methoxyethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-alaninamide

- N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-cyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-neopentyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 5      *N*-tetrahydrofurfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-2-pyridylmethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 3-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninyl]thiazolidine
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- N*-(*R*)-*sec*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 15      1-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninyl]pyrrolidine
- N*-(*S*)-*sec*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-valine methyl ester
- 20      *N*-2-fluoroethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[(*S*)-6-methyl-3-oxohept-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-4-nitrobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutyramide
- 25      *N*-4-nitrobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-fluorophenyl)acetate methyl ester

- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(5-chlorobenzothiophen-2-yl)acetate methyl ester
- 5 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-2-yl)acetate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-3-yl)acetate methyl ester
- 10 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-thienyl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-5-yl)acetate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetate methyl ester
- 15 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetate *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetic acid
- 20 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(1*H*-tetrazol-5-yl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(6-methoxy-2-naphthyl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-trifluoromethylphenyl)acetate methyl ester
- 25 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4,5,6,7-tetrahydrobenzothiophen-2-yl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(thieno[2,3-*b*]thiophen-2-yl)acetate methyl ester
- 30 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-methylthiazol-4-yl)acetate methyl ester

(3S,4S)-*N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-4-amino-3-hydroxy-5-phenylpentanoate methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohex-4-enoate methyl ester

5      *N*-[*N*-(cyclopropylacetyl)-L-alaninyl]-L-phenylglycine *tert*-butyl ester

*N-tert*-butyl-*N'*-[*N*-(3,5-Difluorophenylacetyl)-L-alaninyl]-(S)-2-amino-2-(4-phenylphenyl)acetamide

*N*-[*N*-(3,5-difluorophenylacetyl)-(S)-2-aminobutanoyl]-L-phenylglycine *tert*-Butyl Ester

10      *N*-[*N*-(3,5-difluorophenylacetyl)-L-valinyl]-L-phenylglycine *tert*-butyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-L-methioninyl]-L-phenylglycine methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-L-valinyl]-L-phenylglycine methyl ester

15      *N*-[*N*-(3,5-difluorophenylacetyl)-2-aminobutanoyl]-L-phenylglycine methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-L-leucinyl]-L-phenylglycine methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-L-phenylalaninyl]-L-phenylglycine methyl ester

20      *N*-[*N*-(3,5-difluorophenylacetyl)glycinyl]-L-phenylglycine methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-L-phenylglycinyl]-L-phenylglycine methyl ester

*N*-[*N*-(phenylacetyl)-L-alaninyl]-L-alanine methyl ester

*N*-[*N*-(phenylacetyl)-L-alaninyl]-L-leucine methyl ester

25      *N*-[*N*-(phenylacetyl)-L-alaninyl]-L-isoleucine methyl ester

*N*-[*N*-(phenylacetyl)-L-alaninyl]-L-proline methyl ester

*N*-[*N*-(phenylacetyl)-L-alaninyl]-L-phenylalanine methyl ester

- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*N*'-(*tert*-butoxycarbonyl)-*L*-lysine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-glycine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valine methyl ester
- 5     *N*-[*N*-(phenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanoate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-valine
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-*N*-methylalanine methyl ester
- N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester
- 10     *N*-[*N*-(isovaleryl)-*L*-isoleucinyl]-*L*-alanine *iso*-butyl ester
- N*-Cyclohexyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-hydroxyproline ethyl ester
- 15     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-lysine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-glutamide
- 1-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]piperidine-2-carboxylate methyl ester
- 20     *N*-[(*S*)-3-hydroxy-6-methylhept-2-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-hydroxy-1-phenyleth-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[*N*-(3,5-difluorophenyl- $\alpha$ -fluoroacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- 25     *N*-[*N*-(3,5-difluorophenylacetyl)-2-(*S*)-aminocyclohexylacetyl]-*L*-phenylglycine methyl ester
- N*-[(1*R*,2*S*)-1-hydroxy-1-phenylprop-2-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide

- N*-[(1*R*,2*S*)-1-hydroxy-1,2-diphenyleth-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(1*S*,2*R*)-1-hydroxy-1-phenylprop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 5      *N*-2-methoxyethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-glycinamide
- N*-[(*S*)- $\alpha$ -hydroxy- $\alpha$ -phenyl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 10      *N*-[(*S*)-2-hydroxy-1,2-diphenylethyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-1-hydroxyhex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[ $\alpha$ -hydroxy- $\alpha'$ -(4-hydroxyphenyl)-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 15      *N*-2-pyridylmethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-[ $\alpha$ -hydroxy- $\alpha'$ -pyrid-2-yl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[ $\alpha$ -hydroxy- $\alpha'$ -pyrid-4-yl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 20      *N*-[(*S*)-1-hydroxy-4-methylpent-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[ $\alpha$ -methoxy-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-hydroxy-3-methyl-but-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 25      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(6-aminopyrid-2-yl)acetate methyl ester
- N*-[1-hydroxy-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-methoxy-1-phenyleth-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide



- N*-[(*S*)-1-methoxy-2-phenyl-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-1-acetoxylhex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 5 *N*-[(*S*)-1-(*tert*-butylcarbonyloxy)-hex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[2-hydroxy-1-(thien-2-yl)ethyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-hydroxy-2-methyl-1-phenylprop-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 10 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(thien-2-yl)glyciny]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglyciny]-*L*-phenylglycinol
- N*-[*N*-(cyclopropaneacetyl)-*L*-phenylglyciny]-*L*-phenylglycinol
- N*-[*N*-(cyclopentaneacetyl)-*L*-phenylglyciny]-*L*-phenylglycinol
- 15 *N*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-phenylglyciny]-*D,L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-valiny]-*D,L*-phenylglycinamide
- N*-[*N*-(2-thienylacetyl)-*L*-alaniny]-*L*-phenylglycinamide
- N*-[*N*-(*n*-caprotyl)-*L*-alaniny]-*L*-phenylglycinamide
- 20 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-norleuciny]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-norvaliny]-*L*-phenylglycine methyl ester
- 25 *N*-[*N*-(3,5-difluorophenylacetyl)-*L-tert*-leuciny]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-isoleuciny]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-cyclohexylalaniny]-*L*-phenylglycine methyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-(S)-2-amino-2-(cyclopropyl)acetyl]-L-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-(S)-2-amino-2-(thien-3-yl)acetyl]-L-phenylglycine methyl ester
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-(S)-2-amino-2-(thien-2-yl)acetyl]-L-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-(4-fluorophenyl)glyciny]-L-phenylglycine methyl ester
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-D-(4-fluorophenyl)glyciny]-L-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-(4-methoxyphenyl)glyciny]-L-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-phenylglyciny]-L-phenylglycine *tert*-butyl ester
- 15      *N*-[*N*-(cyclopropylacetyl)-L-phenylglyciny]-L-phenylglycine *tert*-butyl ester
- N*-[*N*-(cyclopentylacetyl)-L-phenylglyciny]-L-phenylglycine *tert*-butyl ester
- N*-[*N*-(*tert*-butylacetyl)-L-alaniny]-L-phenylglycinamide
- 20      *N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaniny]-L-(5-bromothien-2-yl)glycinamide
- N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaniny]-D-(5-bromothien-2-yl)glycinamide
- 25      *N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaniny]-L-(4-bromothien-2-yl)glycinamide
- N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaniny]-L-(thien-2-yl)glycinamide
- N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaniny]-D-(thien-2-yl)glycinamide
- 30      *N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaniny]-L-(thien-3-yl)glycinamide

- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-(thien-2-yl)glycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 5      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-(5-chlorothien-2-yl)glycinamide
- 10      *N*-Cyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-4-(phenyl)phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-3-(phenoxy)phenylglycinamide
- N*-(*S*)-(-)- $\alpha$ -methylbenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-phenylglycinamide
- 15      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-3-(phenyl)phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(ethyl)phenylglycinamide
- 20      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-2-(phenyl)phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-2-(benzyl)phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-4-bromophenylglycinamide
- 25      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(cyclohexyl)phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(4-ethylphenyl)phenylglycinamide
- 30      *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-4-(*tert*-butyl)phenylglycinamide

- N-tert-butyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-3-(4-chlorophenoxy)phenylglycinamide*
- N-cyclohexyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-(phenyl)phenylglycinamide*
- 5      *N-[N-(3,5-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]-L-phenylglycine *tert*-butyl ester*
- N-tert-butyl-N'-[N-(3,5-difluorophenyl- $\alpha,\alpha$ -difluoroacetyl)-L-alaninyl]-L-phenylglycinamide*
- 10      *N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D-phenylglycine *tert*-butyl ester*
- N-[(S)-1-oxo-1-phenylprop-2-yl]-N'-(3,5-difluorophenylacetyl)-L-alaninamide*
- N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-(pyrid-3-yl)glycine *tert*-butyl ester*
- 15      *[N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinyl]morpholine*
- N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-(2-methoxy)phenylglycine methyl ester*
- 20      *N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycine N-*tert*-butoxycarbonyl(hydroxyl amine) ester*
- N-neopentyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinamide*
- N-tetrahydrofurfuryl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinamide*
- 25      *N-methoxy-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinamide*
- [N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinyl]azetidine*
- 30      *N-iso-butyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinamide*

- N*-cyclopropanemethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-methoxy-*N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 5      *N*-2-methylprop-2-enyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-(pyrid-3-yl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 10      *N*-(pyrid-4-yl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-furfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-cyclopentyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 15      *N*-1-benzylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N,N*-dimethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 20      *N*-2,2,6,6-tetramethylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-2-methylcyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-4-methylcyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 25      *N*-1-ethoxycarbonylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 30      *N*-*tert*-butoxy-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycine *N*-*tert*-butyl(hydroxylamine) ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine hydrazide
- 5     *N*-(1-ethoxyethen-1-yl)-[*N'*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine hydrazide
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- N*-4-(phenyl)butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 10     *N*-3-(4-iodophenoxy)propyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-6-(amino)hexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide Hydrochloride
- N*-1-(phthalimido)pent-2-yl-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 15     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(3,5-difluorophenyl)glycinyl]-*L*-(3,5-difluorophenyl)glycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-norleucine
- N*-[*N*-(cyclopentaneacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-fluorophenylglycine *iso*-propyl ester
- 20     *N*-(isopropyl) *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(cyclopentylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(cyclopropylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester
- 25     *N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine *iso*-butyl ester
- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycine methyl ester
- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-(3- $\alpha$ -phenyl)proline methyl ester

- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-azetidine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(5-chlorobenzothiophen-2-yl)acetate methyl ester
- 5 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(thiazol-4-yl)propionate *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-(thien-2-yl)glycinamide
- 10 *N*-[*N*-(3,4-dichlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-chlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-bromophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-fluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(4-fluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 15 *N*-[*N*-(3-methylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(4-methylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-trifluoromethylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-methoxyphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(2-chlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 20 *N*-[*N*-(1-naphthylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(2-naphthylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycine
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 25 *N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-furanyl)acetamide

- N'*-[*N*-(3,5-difluorophenylacetyl)-D-alaninyl]-D-phenylglycinamide
- N'*-[*N*-(3,4-difluorophenylacetyl)-D-alaninyl]-D-phenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylalanin-*N*-methylsulfonamide
- 5      *N''*-methyl-*N''*-phenyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-glycinamide
- N''*-methyl-*N''*-phenyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-L-methioninyl]-L-phenylglycinamide
- 10      *N''*-methyl-*N''*-benzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-glycinamide
- N''*-4-fluorobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylglycinamide
- 15      *N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-(4-fluoro)phenylglycine neopentyl ester
- N*-[*N*-(2,3,4,5,6-pentafluorophenylacetyl)-L-alaninyl]-L-(pyrid-3-yl)glycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-(pyrid-3-yl)glycine *tert*-butyl ester
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-L-(O-benzyl)serinyl]-L-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-(O-benzyl)threoninyl]-L-phenylglycine methyl ester
- 25      *N*-[*N*-(3,5-difluorophenylacetyl)-L-threoninyl]-L-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-serinyl]-L-phenylglycine methyl ester
- N''*-4-methylphenyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylglycinamide
- 30      *N''*-tetrahydrofurfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylglycinamide



- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-fluorophenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-methionyl]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-2-aminobutanoyl]-*L*-phenylglycinamide
- 5 *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-valinyl]-*L*-phenylglycinamide
- N*-[(*R*)- $\alpha$ -methylbenzyl]-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 10 *N*-[1-phenyl-2-oxo-3-methylbutan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-propan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-pentan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 15 *N*-[1-phenyl-2-oxo-2-phenyl-ethan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-butan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 20 *N*-[1-phenyl-2-oxo-4-methylpentan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*- $\alpha$ -hydroxyphenylalanine methyl ester
- N''*-[4-((2-hydroxy-4-azido)-phenyl)-NHC(O)-butyl] *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 25 *N*-[(*S*)-1-phenyl-2-oxo-2-phenyl-ethan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-fluorophenylglycine *tert*-butyl ester
- 30 *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-phenylphenylglycine *tert*-butyl ester

[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-(2,3-benzo[*b*]proline) methyl ester

*N*"-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-*n*-butylphenylglycinamide

5      *N*"-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-4-(phenylacetenyl)phenylglycinamide

*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-phenylglycinthioamide

*N*-[1,3-diphenyl-2-oxo-propan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide

10      *N*-[1-phenyl-2-oxo-2-cyclopentylethan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide

*N*-[1-phenyl-2-oxo-hexan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide

15      *N*-[1-phenyl-2-oxo-3-methylpentan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide

*N*"-*n*-hexyl-6-biotinamidyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-phenylglycinthioamide

*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-methioninyl]-*L*-methionine

20      *N*'-[*N*-(2-*tert*-BOC-amino)propionyl]-*L*-alaninyl]-*L*-phenylglycine methyl ester

*N*"-*tert*-butyl *N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-2-fluorophenylglycinamide

*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-2-phenylglycine methyl ester

25      *N*-[(*S*)-1-phenyl-2-oxo-3-phenylpropan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide

*N*'-[*N*-(3,5-difluorophenylacetyl)-*D*,*L*-thien-3-ylglycinyl]-*D*,*L*-2-phenylglycine

30      *N*'-[*N*-(3,5-difluorophenylacetyl)-*D*,*L*-thien-3-ylglycinyl]-*D*,*L*-2-phenylglycine *tert*-butyl ester

*N'*-[*N*-(3,5-difluorophenylacetyl)-L-thien-3-ylglyciny]-L-2-phenylglycine

*N'*-[*N*-(3,5-difluorophenylacetyl)-L-thien-3-ylglyciny]-L-2-phenylglycine  
*tert*-butyl ester

5 *N*-[2-hydroxy-1-(*S*)phenyleth-1-yl]-*N'*-[(3,5-difluorophenylacetyl)-L-phenylglyciny]-L-alaninamide

*N*-[2-hydroxyeth-1-yl]-*N'*-[(3,5-difluorophenylacetyl)-L-alaniny]-L-phenylglycinamide

*N'*-[*N*-(3,5-difluorophenyl-2-oxo-acetyl)-L-alaniny]-L-2-phenylglycine  
*tert*-butyl ester

10 [ *N*-(2,5-dichlorophenoxyacetyl)-L-alaniny]-L-phenylglycine methyl ester

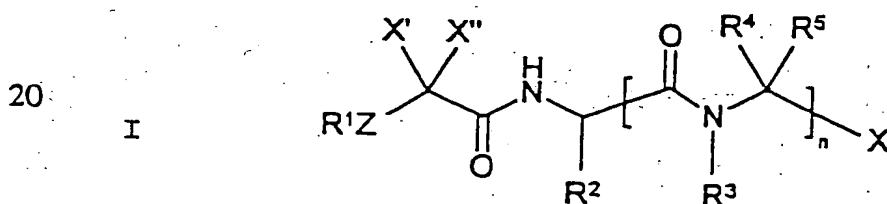
[ *N*-(3,5-difluorophenoxyacetyl)-L-alaniny]-L-phenylglycine methyl ester

[ *N*-(3,4-dichlorothiophenoxyacetyl)-L-alaniny]-L-phenylglycine methyl  
ester

[ *N*-(3-aminopropionyl)-L-alaniny]-L-phenylglycine *tert*-butyl ester; and

15 [ *N*-(3-*tert*-butoxycarbonylamino)propionyl)-L-alaniny]-L-phenylglycine  
*tert*-butyl ester.

61. A compound of formula I:



25 wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic;

R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

30

each  $R^3$  is independently selected from the group consisting of hydrogen and methyl and  $R^3$  together with  $R^4$  can be fused to form a cyclic structure of from 3 to 8 atoms which is optionally fused with an aryl or heteroaryl group;

each  $R^4$  is independently selected from the group consisting of hydrogen,  
5 alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, substituted alkyl, substituted alkenyl and substituted alkynyl;

each  $R^5$  is selected from hydrogen and methyl or together with  $R^4$  forms a cycloalkyl group of from 3 to 6 carbon atoms;

X is selected from the group consisting of  $-C(O)Y$  and  $-C(S)Y$  where Y  
10 -- is selected from the group consisting of

(a) alkyl or cycloalkyl,

(b) substituted alkyl with the proviso that the substitution on said substituted alkyl do not include  $\alpha$ -haloalkyl,  $\alpha$ -diazoalkyl,  $\alpha$ -OC(O)alkyl, or  $\alpha$ -OC(O)aryl groups,

15 (c) alkoxy or thioalkoxy,

(d) substituted alkoxy or substituted thioalkoxy,

(e) hydroxy,

(f) aryl,

(g) heteroaryl,

20 (h) heterocyclic,

(i)  $-NR'R''$  where  $R'$  and  $R''$  are independently selected from hydrogen, alkyl, alkenyl, alkynyl, substituted alkyl, substituted alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, where one of  $R'$  or  $R''$  is hydroxy or alkoxy, and where  $R'$  and  $R''$  are joined to form a cyclic group  
25 having from 2 to 8 carbon atoms optionally containing 1 to 2 additional heteroatoms selected from oxygen, sulfur and nitrogen and optionally substituted with one or more alkyl, alkoxy or carboxylalkyl groups,

(j)  $-NH SO_2 - R^8$  where  $R^8$  is selected from alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

(k)  $-NR^9NR^{10}R^{10}$  where  $R^9$  is hydrogen or alkyl, and each  $R^{10}$  is independently selected from hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclic, and

(l)  $-ONR^9[C(O)O]_zR^{10}$  where  $z$  is zero or one,  $R^9$  and  $R^{10}$  are as defined above;

X can also be  $-CR^6R^6Y'$  where each  $R^6$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic and  $Y'$  is selected from the group consisting of hydroxyl, amino, thiol, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy,  $-OC(O)R^7$ ,  $-SSR^7$ ,  $-SSC(O)R^7$  where  $R^7$  is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic,

$X'$  is hydrogen, hydroxy, or fluoro;

$X''$  is hydrogen, hydroxy or fluoro, or  $X'$  and  $X''$  together form an oxo group,

$Z$  is selected from the group consisting of a bond covalently linking  $R^1$  to  $-CX'X''-$ , oxygen and sulfur;

$n$  is an integer equal to 1 or 2; and

pharmaceutically acceptable salts thereof

with the provisos that:

A. when  $R^1$  is phenyl or 3-nitrophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is  $-\text{CH}(\text{OH})\text{CH}_3$ ,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{OH}$ ;

B. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is  $-\text{CH}(\text{OH})\text{CH}_3$  derived from D-threonine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{OH}$  or  $-\text{C}(\text{O})\text{OCH}_3$ ;

C. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^4$  is benzyl,  $R^5$  is hydrogen,  $X$  is methoxycarbonyl,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $R^3$  is not methyl;

D. when  $R^1$  is *iso*-propyl,  $R^2$  is  $-\text{CH}_2\text{C}(\text{O})\text{NH}_2$ ,  $R^3$  is hydrogen,  $R^4$  is *iso*-butyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{OCH}_3$ ;

5 E. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $X$  is  $-\text{C}(\text{O})\text{OCH}_3$ ,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $R^3$ , the nitrogen atom attached to  $R^3$ , and  $R^4$  do not form 1,2,3,4-tetrahydro*iso*-quinolin-2-yl or pyrrolidin-2-yl;

10 F. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^5$  is hydrogen,  $X$  is  $-\text{C}(\text{O})\text{OCH}_3$ ,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $R^4$  is not 4-amino-*n*-butyl;

G. when  $R^1$  is 3-nitrophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is  $-\text{CH}(\text{OH})\text{CH}_3$ ,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{NH}_2$  or  $-\text{CH}_2\text{OH}$ ;

15 H. when  $R^1$  is phenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^5$  is hydrogen,  $X$  is  $-\text{CH}_2\text{OCH}_3$ ,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $R^4$  is not benzyl or ethyl;

I. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is methyl,  $R^4$  is methyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{CHOH}\phi$ ;

20 J. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{CHOH}\phi$  or  $-\text{CH}_2\text{OH}$ ;

25 K. when  $R_1$  is *N*-(2-pyrrolidinonyl),  $R_2$  is methyl,  $R_3$  is hydrogen,  $R_4$  is benzyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{OCH}_3$ ;

L. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl derived from D-alanine,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{C}(\text{O})\text{NH-benzyl}$ ;

30 M. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is hydrogen,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-\text{CH}_2\text{OH}$ ;

N. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is 4-phenylphenyl,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-C(O)NHC(CH_3)_3$ ; and

5 O. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is methyl,  $R^3$  is hydrogen,  $R^4$  is phenyl derived from D-phenylglycine,  $R^5$  is hydrogen,  $X'$  and  $X''$  are hydrogen,  $Z$  is a bond, and  $n$  is 1, then  $X$  is not  $-C(O)NHCH(CH_3)\phi$ .

62. The compound according to Claim 61 wherein  $R^1$  is an unsubstituted aryl group and  $Z$  is a bond covalently linking  $R^1$  to  $-CX'X''-$ .

10 63. The compound according to Claim 62 wherein the unsubstituted  $R^1$  aryl group is selected from the group consisting of phenyl, 1-naphthyl and 2-naphthyl.

64. The compound according to Claim 61 wherein  $R^1$  is a substituted aryl group and  $Z$  is a bond covalently linking  $R^1$  to  $-CX'X''-$ .

15 65. The compound according to Claim 64 wherein said substituted aryl group is a mono-substituted, di-substituted or tri-substituted phenyl group.

20 66. The compound according to Claim 65 wherein the substituted phenyl groups are selected from the group consisting of 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-methylphenyl, 3-methoxyphenyl, 3-nitrophenyl, 3-fluorophenyl, 3-chlorophenyl, 3-bromophenyl, 3-thiomethoxyphenyl, 3-methylphenyl, 3-trifluoromethylphenyl, 2-hydroxyphenyl, 2-methylphenyl, 2-fluorophenyl, 2-chlorophenyl, 3,4-difluorophenyl, 2,3,4,5,6-pentafluorophenyl, 3,4-dibromophenyl, 3,4-dichlorophenyl, 3,4-methylene-dioxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 2,4-dichlorophenyl, and 2,5-difluorophenyl.

67. The compound according to Claim 61 wherein R<sup>1</sup> is an alkaryl group and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

68. The compound according to Claim 67 wherein the R<sup>1</sup> alkaryl group is selected from the group consisting of benzyl, 2-phenylethyl, and 3-phenyl-*n*-propyl.

69. The compound according to Claim 61 wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, cycloalkyl and cycloalkenyl groups and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

70. The compound according to Claim 69 wherein R<sup>1</sup> is alkyl.

71. The compound according to Claim 69 wherein R<sup>1</sup> is cycloalkyl.

72. The compound according to Claim 69 wherein R<sup>1</sup> is alkenyl.

73. The compound according to Claim 69 wherein R<sup>1</sup> is cycloalkenyl.

74. The compound according to Claim 69 wherein the R<sup>1</sup> alkyl, cycloalkyl, alkenyl and cycloalkenyl groups are selected from the group consisting of *iso*-propyl, *n*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH=CH<sub>2</sub>, -CH<sub>2</sub>CH=CH(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub>, cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclohex-1-enyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-cyclopentyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopentyl, aminomethyl, and N-*tert*-butoxycarbonylaminomethyl.

75. The compound according to Claim 61 wherein R<sup>1</sup> is selected from the group consisting of heteroaryl and substituted heteroaryl groups and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.



76. The compound according to Claim 75 wherein the R<sup>1</sup> heteroaryl and substituted heteroaryl groups are selected from the group consisting of pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, fluoropyridyls (including 5-fluoropyrid-3-yl), chloropyridyls (including 5-chloropyrid-3-yl), thien-2-yl, thien-3-yl, 5 benzothiazol-4-yl, 2-phenylbenzoxazol-5-yl, furan-2-yl, benzofuran-2-yl, thionaphthen-2-yl, 2-chlorothiophen-5-yl, 3-methylisoxazol-5-yl, 2-(thiophenyl)thiophen-5-yl, 6-methoxythionaphthen-2-yl, 3-phenyl-1,2,4-thioxadiazol-5-yl and 2-phenyloxazol-4-yl.

77. The compound according to Claim 61 wherein R<sup>2</sup> is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, aryl, heteroaryl and heterocyclic.

78. The compound according to Claim 77 wherein R<sup>2</sup> is selected from the group consisting of methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl, *sec*-butyl, phenyl, 4-fluorophenyl, 3,5-difluoro-phenyl, 4-methoxyphenyl, 15 benzyl, cyclopropyl, cyclohexyl, cyclopentyl, cycloheptyl, thien-2-yl, thien-3-yl, -CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>OCH<sub>2</sub>φ, -CH(CH<sub>3</sub>)OCH<sub>2</sub>φ, -CH(OH)CH<sub>3</sub> and -CH<sub>2</sub>OH.

79. The compound according to Claim 61 wherein X' and X'' are hydrogen and Z is a bond covalently linking R<sup>1</sup> to -CX'X''-.

80. The compound according to Claim 79 wherein R<sup>3</sup> is selected from the group consisting of hydrogen, methyl or together with R<sup>4</sup> and the nitrogen to which R<sup>3</sup> is attached forms pyrrolidin-2-yl, 2,3-dihydroindol-2-yl, piperidin-2-yl, 4-hydroxy-pyrrolidin-2-yl and 1,2,3,4-tetrahydroisoquinolin-3-yl.

81. The compound according to Claim 61 wherein R<sup>4</sup> substituents are 25 selected from the group consisting of hydrogen, methyl, ethyl, *iso*-propyl,

$n$ -propyl,  $n$ -butyl,  $sec$ -butyl,  $iso$ -butyl, cyclopentyl, cyclohexyl, allyl,  $iso$ -but-2-enyl, 3-methylpentyl,  $-CH_2$ -cyclopropyl,  $-CH_2$ -cyclohexyl,  $-CH_2$ -indol-3-yl, phenyl,  $p$ -(phenyl)phenyl,  $m$ -(phenyl)phenyl,  $o$ -fluorophenyl,  $m$ -fluorophenyl,  $p$ -fluorophenyl,  $p$ -bromophenyl,  $m$ -methoxyphenyl,  $p$ -methoxyphenyl,  
 5 phenethyl, benzyl,  $m$ -hydroxybenzyl,  $p$ -hydroxybenzyl,  $p$ -nitrobenzyl,  $m$ -trifluoromethylphenyl,  $p$ -( $CH_3$ )<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-benzyl,  $p$ -( $CH_3$ )<sub>3</sub>COC(O)CH<sub>2</sub>O-benzyl,  $p$ -phenylphenyl, 3,5-difluorophenyl,  $p$ -(HOOCCH<sub>2</sub>O)-benzyl, 2-aminopyrid-6-yl, 4-( $N$ -morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl,  $-CH_2CH_2C(O)NH_2$ ,  $-CH_2$ -imidazol-4-yl,  $-CH_2$ -(3-tetrahydrofuranyl), -  
 10  $-CH_2$ -thien-2-yl,  $-CH_2$ -thiazol-4-yl,  $-CH_2$ (1-methyl)cyclopropyl,  $-CH_2$ -thien-3-yl, thien-3-yl, thien-2-yl,  $-CH_2$ -C(O)O- $t$ -butyl,  $-CH_2$ -C( $CH_3$ )<sub>3</sub>,  $-CH_2CH(CH_2CH_3)_2$ , 2-methylcyclopentyl, -cyclohex-2-enyl,  $-CH[CH(CH_3)_2]COOCH_3$ ,  $-(CH_2)_2SCH_3$ ,  $-CH_2CH_2N(CH_3)_2$ ,  $-CH_2C(CH_3)=CH_2$ ,  $-CH_2CH=CHCH_3$  (cis and trans),  $-CH_2OH$ ,  $-CH(OH)CH_3$ ,  $-CH(O-t\text{-butyl})CH_3$ ,  $-CH_2OCH_3$ ,  $-(CH_2)_4NH\text{-Boc}$ ,  
 15  $-(CH_2)_4NH_2$ ,  $-(CH_2)_4N(CH_3)_2$ ,  $-CH_2$ -pyridyl, pyridyl,  $-CH_2$ -naphthyl,  $-CH_2$ -( $N$ -morpholino),  $p$ -( $N$ -morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, benzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, tetrazol-5-yl, 5-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl,  
 20  $-CH_2$ - $N$ -phthalimidyl, 2-methylthiazol-4-yl, and thieno[2,3- $b$ ]thiophen-2-yl, 5-bromothien-2-yl, 4-bromothien-2-yl, 5-chlorothien-2-yl, 3-phenoxyphenyl, 2-phenoxyphenyl, 4-ethylphenyl, 2-benzylphenyl, (4-ethylphenyl)phenyl, 4- $tert$ -butylphenyl, 4- $n$ -butylphenyl,  $o$ -(4-chlorophenoxy)phenyl, furan-2-yl, and 4-phenylacetylenylphenyl.

25 82. The compound according to Claim 61 wherein Z is a covalent bond linking R<sup>1</sup> to -CX'X"- and R<sup>4</sup> and R<sup>5</sup> are fused to form a cycloalkyl group selected from the group consisting of cyclopropyl and cyclobutyl.

83. The compound according to Claim 61 wherein Z is a covalent bond linking R<sup>1</sup> to -CX'X'', X is -C(O)Y and Y is selected from the group consisting of hydroxy, alkoxy or substituted alkoxy.

5 84. The compound according to Claim 83 wherein Y is alkoxy or substituted alkoxy selected from the group consisting of methoxy, ethoxy, *n*-propoxy, *iso*-propoxy, *n*-butoxy, *iso*-butoxy, *tert*-butoxy, *neo*-pentoxy, benzyloxy, 2-phenylethoxy, 3-phenyl-*n*-propoxy, 3-iodo-*n*-propoxy, 4-bromo-*n*-butoxy, -ONHC(O)OC(CH<sub>3</sub>)<sub>3</sub>, -ONHC(CH<sub>3</sub>)<sub>3</sub> and hydroxy.

10 85. The compound according to Claim 61 wherein Z is a covalent bond linking R<sup>1</sup> to -CX'X'', X is -C(O)Y and Y is -NR'R''.

86. The compound according to Claim 85 wherein Y is selected from the group consisting of amino (-NH<sub>2</sub>), -NH(*iso*-butyl), -NH(*sec*-butyl), N-methylamino, N,N-dimethylamino, N-benzylamino, N-morpholino, azetidino, N-thiomorpholino, N-piperidinyl, 15 N-hexamethyleneimino, N-heptamethylene-imino, N-pyrrolidinyl, -NH-methallyl, -NHCH<sub>2</sub>-(furan-2-yl), -NHCH<sub>2</sub>-cyclopropyl, -NH(*tert*-butyl), -NH(*p*-methylphenyl), -NHCH<sub>3</sub>, -NHCH<sub>2</sub>(*p*-fluorophenyl), -NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -NH-cyclopentyl, -NH-cyclohexyl, -NHCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -NHCH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -NHCH<sub>2</sub>-(pyrid-2-yl), -NHCH<sub>2</sub>-(pyrid-3-yl), -NHCH<sub>2</sub>-(pyrid-4-yl), N-thiazolindinyl, 20 -N(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -N[CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>]<sub>2</sub>, -NHOH, -NH(*p*-NO<sub>2</sub>-φ), -NHCH<sub>2</sub>(*p*-NO<sub>2</sub>-φ), -NHCH<sub>2</sub>(*m*-NO<sub>2</sub>-φ), -N(CH<sub>3</sub>)OCH<sub>3</sub>, -N(CH<sub>3</sub>)CH<sub>2</sub>-φ, -NHCH<sub>2</sub>-(3,5-di-fluorophenyl), -NHCH<sub>2</sub>CH<sub>2</sub>F, -NHCH<sub>2</sub>(*p*-CH<sub>3</sub>O-φ), -NHCH<sub>2</sub>(*m*-CH<sub>3</sub>O-φ), -NHCH<sub>2</sub>(*p*-CF<sub>3</sub>-φ), -N(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>φ, -NHCH(CH<sub>3</sub>)φ, -NHCH<sub>2</sub>-(*p*-F-φ), -N(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -NHCH<sub>2</sub>-(tetrahydrofuran-2-yl), 25 -NHCH<sub>2</sub>(*p*-trifluoromethylphenyl), -NHCH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>, -NH-[(*p*-benzyl)pyrid-4-yl], -NH-[(2,6-dimethyl)pyrid-4-yl], -NH-(2-methylcyclohexyl), -NH-(4-methylcyclohexyl), -NH-[N-ethoxycarbonyl]-piperidin-4-yl, -NHOC(CH<sub>3</sub>)<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-φ, -C(O)NH(CH<sub>2</sub>)<sub>3</sub>O-(*p*-CH<sub>3</sub>)φ,

-C(O)NH(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>, -NH-(tetrahydrofuran-2-yl), -N(CH<sub>3</sub>)φ,  
-NH(CH<sub>2</sub>)<sub>4</sub>NHC(O)-(2-hydroxy-4-azido)-phenyl and -NH(CH<sub>2</sub>)<sub>6</sub>-(biotinamidyl).

87. The compound according to Claim 61 wherein X is -C(O)Y and Y  
is selected from the group consisting of -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>OH,  
5 -CH(OH)CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH(OH)φ, -CH(OH)CH<sub>2</sub>C(O)OCH<sub>3</sub>,  
-C(OH)(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>OC(O)OCH<sub>3</sub>, and -CH<sub>2</sub>OC(O)C(CH<sub>3</sub>)<sub>3</sub>,  
methyl, ethyl, *iso*-propyl, *n*-propyl, *iso*-butyl, *n*-butyl, *sec*-butyl, *tert*-butyl, -  
CH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>-pyridy-2-yl, -CH<sub>2</sub>-pyridy-3-yl,  
-CH<sub>2</sub>-pyridy-4-yl, -CH<sub>2</sub>-fur-2-yl, benzyl, cyclopentyl, phenyl, and  
10 -NH-SO<sub>2</sub>-CH<sub>3</sub>.

88. The compound according to Claim 61 wherein Z is a covalent bond  
linking R<sup>1</sup> to -CX'X"-.

89. The compound according to Claim 61 wherein the compound of  
formula I is selected from the group consisting of:

- 15        *N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-aminohexanoate methyl  
          ester
- N*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-histidine methyl ester
- N*-benzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-  
          aminohexanamide
- 20        *N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-  
          alaninyl]-(S)-2-aminohexanamide
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-(S)-2-  
          aminohexanamide
- 25        *N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-  
          alaninyl]-L-phenylalaninamide
- N*-(4-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-  
          phenylalaninamide

- N*-(3-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-(4-pyridyl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanoate *tert*-butyl ester
- N*-[*N*-(pent-4-enoyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(dec-4-enoyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-[3-(*N,N*-dimethylamino)propoxy]phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-[(*tert*-butyloxycarbonyl)methoxy]phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-tyrosine methyl ester
- 15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(carboxymethoxy)phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(2-morpholinoethoxy)phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-6-(*N,N*-dimethylamino)hexanoate methyl ester
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-pyridyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(3-pyridyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-proline methyl ester
- 25      1-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]piperidine-2-carboxylate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(4-pyridyl)propionate methyl ester
- 30      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-methoxypropionate methyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-morpholinopropionate methyl ester
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(2-morpholinoethoxy)phenylalaninamide
- 5 *N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-methoxypropionamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine methyl ester
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(4-pyridyl)propionamide
- 10 *N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(2-pyridyl)propionamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(thiazol-4-yl)propionate methyl ester
- 15 2-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxylate methyl ester
- N*-(3-methoxybenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(1-naphthyl)propionate methyl ester
- 20 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-naphthyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(2-thienyl)propionate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine benzyl ester
- 25 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine 3-bromopropyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine 3-iodopropyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-leucine *tert*-butyl ester

- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-pyridyl)acetamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-pyridyl)acetamide
- 5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*N'*-(*tert*-butoxycarbonyl)-*L*-lysine methyl ester
- methyl *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-4-phenylbutanoate
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine 2-phenylethyl ester
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine 3-phenylpropyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-pyridyl)acetamide
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-threonine methyl ester
- N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-leucinamide
- 15      *N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-pyridyl)acetate ethyl ester
- 20      *N*-methyl-*N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-leucinamide
- N,N*-dimethyl-*N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N,N*-dimethyl-*N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-leucinamide
- N,N*-dimethyl-*N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valinamide
- N*-methyl-*N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- 25      *N*-methyl-*N'*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valinamide
- N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide

- N,N*-dimethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohexanamide
- 5     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-methoxyphenyl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-methoxyphenyl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-pyridyl)acetate ethyl ester
- 10     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-pyridyl)acetate ethyl ester
- N*-[*N*-(cyclohexylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(cyclopentylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(cyclohex-1-enylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- 15     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-1-aminocyclopropane-1-carboxylate methyl ester
- N*-2-(*N,N*-dimethylamino)ethyl-*N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[*N*-(cyclopropylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- 20     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]glycine benzyl ester
- N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-alanine ethyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]glycine ethyl ester
- 25     *N*-hydroxy-*N'*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*D,L*-threoninamide
- N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester



- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-2-amino-3-(3-hydroxyphenyl)propionate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-tyrosine ethyl ester
- N*-[*N*-(isovaleryl)-*L*-isoleucinyl]-*L*-alanine *iso*-butyl ester
- 5      *N*-[*N*-[*N*-(isovaleryl)-*L*-valinyl]-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester
- N*-[*N*-(isovaleryl)-*L*-phenylalaninyl]-*L*-alanine *iso*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alanine ethyl ester
- 10      1-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-indoline-(*S*)-2-carboxylate ethyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-methoxy-*N*-methyl-*N'*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alaninamide
- N*-*iso*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 15      *N,N*-di-*n*-propyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-valinamide
- N*-(4-nitrophenyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N'*-[*N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alaninyl]-*L*-phenylalaninamide
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- N*-*iso*-butyl-*N'*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alaninamide
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalaninamide
- 25      *N*-(4-nitrobenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide

- N*-(4-nitrophenyl)-*N'*-[*N*-[*N*-(isovaleryl)-*L*-phenylglyciny]-*L*-alaniny]-*L*-alaninamide
- N*-(4-nitrophenyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylalaninamide
- 5      *N*-benzyl-*N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-alaninamide
- N*-(3,5-difluorobenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-alaninamide
- 10      *N*-(3-nitrobenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-alaninamide
- N*-benzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-alaninamide
- N*-(4-nitrobenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylalaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-tryptophan methyl ester
- 15      *N*-(4-methoxybenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-alaninamide
- N*-[*N*-(phenylacetyl)-*L*-phenylglyciny]-*L*-alanine ethyl ester
- N*-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylalaniny]-*L*-phenylglycine methyl ester
- 20      *N*-[*N*-(cyclohexylacetyl)-*L*-phenylglyciny]-*L*-alanine ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-phenylglycine methyl ester
- N*-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-alaniny]-*L*-phenylglycine methyl ester
- 25      *N*-(2-phenylethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-*L*-tryptophanamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaniny]-(*S*)-2-amino-3-cyclohexylpropionate methyl ester

- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(4-nitrophenyl)propionamide
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-serine ethyl ester
- 5 *N*-[(*R*)- $\alpha$ -methylbenzyl]-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[(*S*)- $\alpha$ -methylbenzyl]-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-(4-fluorobenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 10 *N*-(4-pyridylmethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-(4-trifluoromethylbenzyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 15 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-phenylpropionate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-methylpropionate methyl ester
- 20 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-cyclohexylacetate ethyl ester
- N*-(2-methoxyethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(isovaleryl)-2-amino-2-cyclohexylacetyl]-*L*-alanine ethyl ester
- 25 *N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-(2-pyridylmethyl)-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(3-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- 30 *N*-[*N*-(2-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester

- N*-[*N*-(4-pyridylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4-fluorophenyl)acetate ethyl ester
- 5     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-fluorophenyl)acetate ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-alanine ethyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-phthalimidopropionate ethyl ester
- 10     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine neopentyl ester
- N-tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- 15     *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-valinyl]morpholine
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-valine ethyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-threonine methyl ester
- 20     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanoate methyl ester
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-*tert*-butoxybutyryl]morpholine
- 4-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-isoleucinyl]morpholine
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-isoleucine methyl ester
- 25     *N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-isoleucine
- N*-[*N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-threoninyl]-*L*-valine ethyl ester

- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanoate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-leucine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-leucine methyl ester
- 5      *N*-2-methoxyethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-2-(*N,N*-dimethylamino)ethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-cyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 10      *N*-neopentyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-tetrahydrofurfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-2-pyridylmethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 15      3-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninyl]thiazolidine
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- N*-(*R*)-*sec*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- 20      1-[*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninyl]pyrrolidine
- N*-(*S*)-*sec*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-valine methyl ester
- 25      *N*-2-fluoroethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N*-[(*S*)-6-methyl-3-oxohept-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide

*N*-4-nitrobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutyramide

*N*-4-nitrobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanamide

5      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-fluorophenyl)acetate methyl ester

*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetamide

10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(5-chlorobenzothiophen-2-yl)acetate methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-2-yl)acetate ethyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-3-yl)acetate methyl ester

15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-thienyl)acetate methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(benzothiophen-5-yl)acetate ethyl ester

20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetate methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetate *tert*-butyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-thienyl)acetic acid

25      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(1*H*-tetrazol-5-yl)acetate methyl ester

*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(6-methoxy-2-naphthyl)acetate methyl ester

30      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(3-trifluoromethylphenyl)acetate methyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(4,5,6,7-tetrahydrobenzothiophen-2-yl)acetate methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(thieno[2,3-*b*]thiophen-2-yl)acetate methyl ester
- 5     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(2-methylthiazol-4-yl)acetate methyl ester
- (3*S*,4*S*)-*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-4-amino-3-hydroxy-5-phenylpentanoate methyl ester
- 10     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-aminohex-4-enoate methyl ester
- N*-[*N*-(cyclopropylacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- N-tert*-butyl-*N'*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(4-phenylphenyl)acetamide
- 15     *N*-[*N*-(3,5-difluorophenylacetyl)-(*S*)-2-aminobutanoyl]-*L*-phenylglycine *tert*-Butyl Ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-valinyl]-*L*-phenylglycine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-methioninyl]-*L*-phenylglycine methyl ester
- 20     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-valinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-2-aminobutanoyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-leucinyl]-*L*-phenylglycine methyl ester
- 25     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylalaninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)glycinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-alanine methyl ester

- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-leucine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-isoleucine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-proline methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylalanine methyl ester
- 5 *N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*N*'-(*tert*-butoxycarbonyl)-*L*-lysine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-glycine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-valine methyl ester
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-(*S*)-2-aminobutanoate methyl ester
- 10 *N*-[*N*-(phenylacetyl)-*L*-alaninyl]-(*S*)-2-aminopentanoate methyl ester
- N*-[*N*-(3-nitrophenylacetyl)-*L*-alaninyl]-*L*-valine
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-*N*-methylalanine methyl ester
- N*-[*N*-(isovaleryl)-*L*-phenylglycinyl]-*L*-alanine *iso*-butyl ester
- N*-[*N*-(isovaleryl)-*L*-isoleucinyl]-*L*-alanine *iso*-butyl ester
- 15 *N*-Cyclohexyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-hydroxyproline ethyl ester
- 20 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-lysine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-glutamide
- 1-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]piperidine-2-carboxylate methyl ester
- 25 *N*-[(*S*)-3-hydroxy-6-methylhept-2-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-hydroxy-1-phenyleth-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide



- N*-[*N*-(3,5-difluorophenyl- $\alpha$ -fluoroacetyl)-*L*-alaniny]-*L*-phenylglycine  
*tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-2-(*S*)-aminocyclohexylacetyl]-*L*-  
phenylglycine methyl ester
- 5      *N*-[(1*R*,2*S*)-1-hydroxy-1-phenylprop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-  
*L*-alaninamide
- N*-[(1*R*,2*S*)-1-hydroxy-1,2-diphenyleth-2-yl]-*N'*-(3,5-  
difluorophenylacetyl)-*L*-alaninamide
- 10      *N*-[(1*S*,2*R*)-1-hydroxy-1-phenylprop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-  
*L*-alaninamide
- N*-2-methoxyethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-  
glycinamide
- N*-[(*S*)- $\alpha$ -hydroxy- $\alpha$ -phenyl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-  
alaninamide
- 15      *N*-[(*S*)-2-hydroxy-1,2-diphenylethyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-  
alaninamide
- N*-[(*S*)-1-hydroxyhex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[ $\alpha$ -hydroxy- $\alpha'$ -(4-hydroxyphenyl)-*iso*-propyl]-*N'*-(3,5-  
difluorophenylacetyl)-*L*-alaninamide
- 20      *N*-2-pyridylmethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-  
phenylalaninamide
- N*-[ $\alpha$ -hydroxy- $\alpha'$ -pyrid-2-yl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-  
alaninamide
- 25      *N*-[ $\alpha$ -hydroxy- $\alpha'$ -pyrid-4-yl-*iso*-propyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-  
alaninamide
- N*-[(*S*)-1-hydroxy-4-methylpent-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-  
alaninamide
- N*-[ $\alpha$ -methoxy-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 30      *N*-[1-hydroxy-3-methyl-but-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-  
alaninamide

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-2-(6-aminopyrid-2-yl)acetate methyl ester
- N*-[1-hydroxy-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 5 *N*-[(*S*)-2-methoxy-1-phenyleth-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-1-methoxy-2-phenyl-prop-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-1-acetoxihex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 10 *N*-[(*S*)-1-(*tert*-butylcarbonyloxy)-hex-2-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[2-hydroxy-1-(thien-2-yl)ethyl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[(*S*)-2-hydroxy-2-methyl-1-phenylprop-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 15 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(thien-2-yl)glycinyl]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycinol
- N*-[*N*-(cyclopropaneacetyl)-*L*-phenylglycinyl]-*L*-phenylglycinol
- N*-[*N*-(cyclopentaneacetyl)-*L*-phenylglycinyl]-*L*-phenylglycinol
- 20 *N*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-phenylglycinyl]-*D,L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-valinyl]-*D,L*-phenylglycinamide
- N*-[*N*-(2-thienylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(*n*-caprotyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 25 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-norleucinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-norvalinyl]-*L*-phenylglycine methyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-*tert*-leucinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-isoleucinyl]-*L*-phenylglycine methyl ester
- 5     *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-cyclohexylalaninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-(S)-2-amino-2-(cyclopropyl)acetyl]-*L*-phenylglycine methyl ester
- 10     *N*-[*N*-(3,5-difluorophenylacetyl)-(S)-2-amino-2-(thien-3-yl)acetyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-(S)-2-amino-2-(thien-2-yl)acetyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(4-fluorophenyl)glycinyl]-*L*-phenylglycine methyl ester
- 15     *N*-[*N*-(3,5-difluorophenylacetyl)-*D*-(4-fluorophenyl)glycinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(4-methoxyphenyl)glycinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycine *tert*-butyl ester
- 20     *N*-[*N*-(cyclopropylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycine *tert*-butyl ester
- N*-[*N*-(cyclopentylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycine *tert*-butyl ester
- 25     *N*-[*N*-(*tert*-butylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-(5-bromothien-2-yl)glycinamide
- N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-(5-bromothien-2-yl)glycinamide
- 30     *N*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-(4-bromothien-2-yl)glycinamide

- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-(thien-2-yl)glycinamide
- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-(thien-2-yl)glycinamide
- 5      *N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-(thien-3-yl)glycinamide
- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-(thien-2-yl)glycinamide
- 10      *N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-(5-chlorothien-2-yl)glycinamide
- 15      *N*-Cyclohexyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-4-(phenyl)phenylglycinamide
- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-3-(phenoxy)phenylglycinamide
- 20      *N*-(*S*)-(-)- $\alpha$ -methylbenzyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-phenylglycinamide
- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-3-(phenyl)phenylglycinamide
- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-(ethyl)phenylglycinamide
- 25      *N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-2-(phenyl)phenylglycinamide
- N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-2-(benzyl)phenylglycinamide
- 30      *N*-*tert*-butyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*,*L*-4-bromophenylglycinamide

- N-tert-butyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-(cyclohexyl)phenylglycinamide*
- N-tert-butyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-(4-ethylphenyl)phenylglycinamide*
- 5 *N-tert-butyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-4-(tert-butyl)phenylglycinamide*
- N-tert-butyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-3-(4-chlorophenoxy)phenylglycinamide*
- 10 *N-cyclohexyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-(phenyl)phenylglycinamide*
- N-[N-(3,5-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]-L-phenylglycine *tert*-butyl ester*
- N-tert-butyl-N'-[N-(3,5-difluorophenyl- $\alpha,\alpha$ -difluoroacetyl)-L-alaninyl]-L-phenylglycinamide*
- 15 *N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D-phenylglycine *tert*-butyl ester*
- N-[(S)-1-oxo-1-phenylprop-2-yl]-N'-(3,5-difluorophenylacetyl)-L-alaninamide*
- 20 *N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-(pyrid-3-yl)glycine *tert*-butyl ester*
- [N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinyl]morpholine*
- N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-(2-methoxy)phenylglycine methyl ester*
- 25 *N-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycine *N-tert*-butoxycarbonyl(hydroxyl amine) ester*
- N-neopentyl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinamide*
- 30 *N-tetrahydrofurfuryl-N'-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinamide*

- N*-methoxy-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- [*N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinyl]azetidine
- 5      *N*-*iso*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-cyclopropanemethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 10      *N*-methoxy-*N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-2-methylprop-2-enyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-(pyrid-3-yl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 15      *N*-(pyrid-4-yl)methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-furfuryl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 20      *N*-cyclopentyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-1-benzylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N,N*-dimethyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 25      *N*-2,2,6,6-tetramethylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-2-methylcyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- 30      *N*-4-methylcyclohexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide

- N*-1-ethoxycarbonylpiperidin-4-yl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-methyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 5      *N*-*tert*-butoxy-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycine *N*-*tert*-butyl(hydroxylamine) ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine hydrazide
- 10      *N*-(1-ethoxyethen-1-yl)-[*N'*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine hydrazide
- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- N*-4-(phenyl)butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 15      *N*-3-(4-iodophenoxy)propyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-6-(amino)hexyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-phenylglycinamide Hydrochloride
- N*-1-(phthalimido)pent-2-yl-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 20      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(3,5-difluorophenyl)glycinyl]-*L*-(3,5-difluorophenyl)glycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-norleucine
- N*-[*N*-(cyclopentaneacetyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-fluorophenylglycine *iso*-propyl ester
- 25      *N*-(isopropyl) *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[*N*-(cyclopentylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester
- N*-[*N*-(cyclopropylacetyl)-*L*-alaninyl]-*L*-phenylalanine *tert*-butyl ester

- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycine *iso*-butyl ester
- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycine methyl ester
- 5      *N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-(3- $\alpha$ -phenyl)proline methyl ester
- N*-[*N*-(3,5-Difluorophenylacetyl)-*L*-alaninyl]-*L*-azetidine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-2-amino-3-(5-chlorobenzothiophen-2-yl)acetate methyl ester
- 10      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-3-(thiazol-4-yl)propionate *tert*-butyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide *tert*-butyl ester
- 15      *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-(thien-2-yl)glycinamide
- N*-[*N*-(3,4-dichlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-chlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-bromophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-fluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 20      *N*-[*N*-(4-fluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-methylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(4-methylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-trifluoromethylphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3-methoxyphenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 25      *N*-[*N*-(2-chlorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(1-naphthylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(2-naphthylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide



- N*-[*N*-(phenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycine
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D*-phenylglycinamide
- 5 *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-(*S*)-2-amino-2-(2-furanyl)acetamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*D*-alaninyl]-*D*-phenylglycinamide
- N'*-[*N*-(3,4-difluorophenylacetyl)-*D*-alaninyl]-*D*-phenylglycinamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylalanin-*N*-methylsulfonamide
- 10 *N''*-methyl-*N''*-phenyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-glycinamide
- N''*-methyl-*N''*-phenyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-alaninamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-methioninyl]-*L*-phenylglycinamide
- 15 *N''*-methyl-*N''*-benzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-glycinamide
- N''*-4-fluorobenzyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 20 *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-(4-fluoro)phenylglycine neopentyl ester
- N*-[*N*-(2,3,4,5,6-pentafluorophenylacetyl)-*L*-alaninyl]-*L*-(pyrid-3-yl)glycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-(pyrid-3-yl)glycine *tert*-butyl ester
- 25 *N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(*O*-benzyl)serinyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-(*O*-benzyl)threoninyl]-*L*-phenylglycine methyl ester

- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-threoninyl]-*L*-phenylglycine methyl ester
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-serinyl]-*L*-phenylglycine methyl ester
- 5     *N*"-4-methylphenyl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*"-tetrahydrofurfuryl-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-4-fluorophenylglycinamide
- 10     *N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-methionyl]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-2-aminobutanoyl]-*L*-phenylglycinamide
- N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-phenylglycinamide
- N*-[*N*-(3,5-difluorophenylacetyl)-*L*-valinyl]-*L*-phenylglycinamide
- 15     *N*-[(*R*)- $\alpha$ -methylbenzyl]-*N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- N*-[1-phenyl-2-oxo-3-methylbutan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-propan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 20     *N*-[1-phenyl-2-oxo-pentan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-2-phenyl-ethan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 25     *N*-[1-phenyl-2-oxo-butan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*-[1-phenyl-2-oxo-4-methylpentan-1-yl]-*N*'-(3,5-difluorophenylacetyl)-*L*-alaninamide
- N*'-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*- $\alpha$ -hydroxyphenylalanine methyl ester

- N''*-[4-((2-hydroxy-4-azido)-phenyl)-NHC(O)-)butyl] *N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-phenylglycinamide
- N*-[(*S*)-1-phenyl-2-oxo-2-phenyl-ethan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-L-alaninamide
- 5      *N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-fluorophenylglycine *tert*-butyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-phenylphenylglycine *tert*-butyl ester
- 10      [*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-(2,3-benzo[*b*]proline) methyl ester
- N''*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-4-*n*-butylphenylglycinamide
- N''*-*tert*-butyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-4-(phenylacetenyl)phenylglycinamide
- 15      *N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinthioamide
- N*-[1,3-diphenyl-2-oxo-propan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-L-alaninamide
- N*-[1-phenyl-2-oxo-2-cyclopentylethan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-L-alaninamide
- 20      *N*-[1-phenyl-2-oxo-hexan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-L-alaninamide
- N*-[1-phenyl-2-oxo-3-methylpentan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-L-alaninamide
- 25      *N''*-*n*-hexyl-6-biotinamidyl-*N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-D,L-phenylglycinthioamide
- N'*-[*N*-(3,5-difluorophenylacetyl)-L-methioninyl]-L-methionine
- N'*-[*N*-(2-*tert*-BOC-amino)propionyl]-L-alaninyl]-L-phenylglycine methyl ester
- 30      *N''*-*tert*-butyl *N'*-[*N*-(3,5-difluorophenylacetyl)-L-alaninyl]-L-2-fluorophenylglycinamide

- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-alaninyl]-*D,L*-2-phenylglycine methyl ester
- N*-[(*S*)-1-phenyl-2-oxo-3-phenylpropan-1-yl]-*N'*-(3,5-difluorophenylacetyl)-*L*-alaninamide
- 5      *N'*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-thien-3-ylglycinyl]-*D,L*-2-phenylglycine
- N'*-[*N*-(3,5-difluorophenylacetyl)-*D,L*-thien-3-ylglycinyl]-*D,L*-2-phenylglycine *tert*-butyl ester
- N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-thien-3-ylglycinyl]-*L*-2-phenylglycine
- 10      *N'*-[*N*-(3,5-difluorophenylacetyl)-*L*-thien-3-ylglycinyl]-*L*-2-phenylglycine *tert*-butyl ester
- N*-[2-hydroxy-1-(*S*)phenyleth-1-yl]-*N'*-[(3,5-difluorophenylacetyl)-*L*-phenylglycinyl]-*L*-alaninamide
- N*-[2-hydroxyeth-1-yl]-*N'*-[(3,5-difluorophenylacetyl)-*L*-alaninyl]-*L*-phenylglycinamide
- 15
- N'*-[*N*-(3,5-difluorophenyl-2-oxo-acetyl)-*L*-alaninyl]-*L*-2-phenylglycine *tert*-butyl ester
- [*N*-(2,5-dichlorophenoxyacetyl)-*L*-alaninyl]-*L*-phenylglycine methyl ester
- [*N*-(3,5-difluorophenoxyacetyl)-*L*-alaninyl]-*L*-phenylglycine methyl ester
- 20      [*N*-(3,4-dichlorothiophenoxyacetyl)-*L*-alaninyl]-*L*-phenylglycine methyl ester
- [*N*-(3-aminopropionyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester; and
- [*N*-(3-*tert*-butoxycarbonylamino)propionyl)-*L*-alaninyl]-*L*-phenylglycine *tert*-butyl ester.